Author Search

=> FILE HCAPLUS
FILE 'HCAPLUS' ENTERED AT 15:13:23 ON 05 NOV 2009
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FILE COVERS 1907 - 5 Nov 2009 VOL 151 ISS 19
FILE LAST UPDATED: 4 Nov 2009 (20091104/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

 ${\tt HCAplus}$ now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D STAT QUE L21

G1 C,N

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Structure attributes must be viewed using STN Express query preparation. L5 545 SEA FILE=REGISTRY SSS FUL L1 L7 STR
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Structure attributes must be viewed using STN Express query preparation.

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462 SEA FILE=REGISTRY SUB=L5 SSS FUL L7
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            30 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON GOBLE S?/AU
L12
L13
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L14
          7601 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON ZHOU C?/AU
L15
           22 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON KOTHANDARAMAN S?/AU
L16
            18 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON GUIADEEN D?/AU
            53 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON BUTORA G?/AU
L18
            2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON PASTEMAK A?/AU
           794 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MILLS S?/AU
L19
L20
         27313 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L12 OR L13 OR L14 OR
               L15 OR L16 OR L17 OR L18 OR L19)
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L21 6 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L20 AND L11

=> FILE WPIX

G1 C, N

FILE 'WPIX' ENTERED AT 15:13:29 ON 05 NOV 2009

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FILE LAST UPDATED: 2 NOV 2009 <20091102/UP>
MOST RECENT UPDATE: 200970 <200970/DW>

DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

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>>> IPC, ECLA, US National Classifications and Japanese F-Terms and FI-Terms have been updated with reclassifications to mid-June 2009.

No update date (UP) has been created for the reclassified documents, but they can be identified by

specific update codes (see HELP CLA for details) <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:

http://www.stn-international.com/stn_guide.html

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://scientific.thomsonreuters.com/support/patents/coverage/latestupdates/

Serial No.:10/585,232

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0: http://www.stn-international.com/DWPIAnaVist2_0608.html

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <>< 'BLABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> D STAT QUE L25 L7 STR

G1 C,N

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L12
            30 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON GOBLE S?/AU
T.13
         18995 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON YANG L?/AU
L14
          7601 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON ZHOU C?/AU
L15
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1.16
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L18
             2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON PASTEMAK A?/AU
L19
           794 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MILLS S?/AU
L20
         27313 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L12 OR L13 OR L14 OR
               L15 OR L16 OR L17 OR L18 OR L19)
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L24
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L25
             3 SEA FILE-WPIX SPE=ON ABB=ON PLU=ON L20 AND L24
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Structure attributes must be viewed using STN Express guery preparation.

=> DUP REM L21 L25 FILE 'HCAPLUS' ENTERED AT 15:13:39 ON 05 NOV 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

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PROCESSING COMPLETED FOR L21 PROCESSING COMPLETED FOR L25

L30 6 DUP REM L21 L25 (3 DUPLICATES REMOVED)
ANSWERS '1-6' FROM FILE HCAPLUS

=> D IBIB ED ABS HITSTR L30 1-6

L30 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1 ACCESSION NUMBER: 2005:1328611 HCAPLUS Full-text
DOCUMENT NUMBER: 144:69736

TITLE: Preparation of tetrahydropyranyl

cyclopentylcarboxamide modulators of chemokine

Serial No.:10/585,232

receptor activity Jiao, Richard

Yang, Lihu; Mills, Sander G.;

PATENT ASSIGNEE(S): Merck & Co., Inc, USA

SOURCE: PCT Int. Appl., 45 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent. LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

	PATENT NO.						DATE		APPLICATION NO.										
		2005	1205	05		A2												0050	422
	110							AU,			BE	2 1	RC.	BD	BW	BV	B7	CA	CH
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								ID,											
								LU,											
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								RU,											
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			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG	, (CI,	CM,	GA,	GN,	GQ,	GW,	ML,
			MR,	NE,	SN,	TD,	TG												
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		1742						2007	0117		EΡ	20	05-	7844	77		2	0050	422
		1972						2007						8001				0050	
		2007						2007	1129					5108				0050	
		2006						2007						DN60				0061	016
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ED Entered STN: 22 Dec 2005

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Title compds. I [Y = 0, S, SO2, (un)substituted amino, etc.; Z = C or N; R1 = sulfonylalkyl, alkylamino, sulfonylamino, etc.; R2 = H, OH, halo, alkyl, etc.;

Serial No.:10/585.232

R3 = H, (fluoro)alkyl, hydroxy, etc.; R4 = H, (fluoro)alkyl, Ph, etc.; R5 = alkyl, alkoxy, pyridyl, etc.; R6 = H, alkyl, Ph, etc.; R7 = H or (un)substituted alkyl; R8 = H, OH, F, etc., or R7R8 = cyclyl; R9 = H, OH, (un)substituted alkyl, R9 = H, OH, (etc.) or R8R9 = cyclyl; R10 = H, F, cycloalkyloxy, (un)substituted alkyloxy, (fluoro)alkyl, or R8R10 = cyclyl; R15, R16 = independently H, OH, (un)substituted alkyl, etc.; n = 0 - 2] and their pharmaceutically acceptable salts were prepared and disclosed as modulators of chemokine receptor activity (no data). Thus, II was prepared by condensation of tetrahydro-4H-pyran-4-one with the corresponding amino cyclopentyl precursor (preparation given). These compds. are useful as modulators of the chemokine receptor for the prevention or treatment of certain inflammatory and immunoregulatory disorders, such as rheumatoid arthritis (no data).

IT 693246-51-4P 693246-68-3P 693273-51-7P 693273-52-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydropyranyl cyclopentylcarboxamide modulators of chemokine receptor activity)

RN 693246-51-4 HCAPLUS

N Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(1-methylethyl)sulfonyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-68-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1 (methylsulfonyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

- RN 693273-51-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(methylsulfonyl)-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

- RN 693273-52-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(methylsulfonyl)-3-[methyl(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

Serial No.:10/585.232

IT 693246-45-6P 693246-48-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydropyranyl cyclopentylcarboxamide modulators of chemokine receptor activity)

RN 693246-45-6 HCAPLUS

CN Carbamic acid, [(1R)-3-[[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-

(methylthio)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-48-9 HCAPLUS

CN Carbamic acid, [(1R)-3-[[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3(methylsulfonyl)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2005:673016 HCAPLUS Full-text

DOCUMENT NUMBER: 143:172854

TITLE: Alkylamino, arylamino, and sulfonamido cyclopentane

amide modulators of chemokine receptor activity INVENTOR(S): Goble, Stephen D.; Yang, Lihu;

Zhou, Changyou; Kothandaraman, Shankaran; Guiadeen, Deodialsingh;

Mills, Sander G.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

Butora, Gabor; Pasternak, Alexander;

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

								APPLICATION NO.									
WO	2005 2005	0675	02		A2		2005	0728									
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
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		MR,	ΝE,	SN,	TD,	TG											
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EP	1701	724			A2		2006	0920		EP 2	004-	8157	79		2	0041	229
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JP 2007519633 T 20070719																	
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US	2007	0117	797		A1		2007	0524								0060	
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Title compds. I [Z = N, C, where no more than two Z are N; R1 = OH, CN, AB (un) substituted alkyl/alkyl, Ph, etc.; when Z attached to R2 is N, R2 = absent or O; and when Z attached to R2 is C, R2 = H, (un)substituted alkyl, alkoxy; when Z attached to R3 is N, R3 = absent or O; and when Z attached to R3 is C, R3 = H, OH, halo, (un)substituted alkyl, etc.; when Z attached to R4 is N, R4 = absent or O; and when Z attached to R2 is C, R2 = H, (un)substituted alkyl, alkoxy; R5 = (un)substituted alkyl, alkylcarbonyl, Ph, etc.; when Z attached

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to R6 is N, R4 = absent or O; and when Z attached to R6 is C, R6 = H, (un)substituted alkyl, alkoxy; R7 = H, (un)substituted alkyl, Ph, heterocyclyl; R8 = (un)substituted alkyl, Ph, pyridyl, etc.; R10, R16 = independently (:O), H, Ph, (un)substituted alkyl; R15 = H, alkyl; or R2 and R15 join together to form a carbocycle or heterocycle; X = (CE2)n; n = 0-1; and their pharmaceutically acceptable salts and individual diastereomers! were prepared as chemokine receptor, particularly CCR2, modulators. For example, II was prepared in 3 steps starting from 3-trifluoromethyl-5,6,7,8-tetrahydro-1,6-naphthyridine (preparation given). I bound to CCR2 receptor in a binding and chemotaxis assay with an IC50 of less than about 1 µM. The invention is directed to the pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which chemokine receptors are involved, such as inflammatory and immunorequilatory disorders, allergic diseases, atopic conditions, rheumatoid

immunoregulatory disorders, allergic diseases, atopic conditions, rheumatoic arthritis, etc. (no data).

860796-11-8P	860796-13-0P	860796-15-2P
860796-17-4P	860796-19-6P	860796-20-9P
860796-21-0P	860796-22-1P	860796-23-2P
860796-24-3P	860796-25-4P	860796-26-5P
860796-27-6P	860796-28-7P	860796-75-42
860796-78-7P	860796-79-8P	860796-80-19
860796-81-2P	860796-82-3P	860796-83-4P
860796-84-5P	860796-85-6P	860796-86-7P
860796-87-8P	860796-88-92	860796-89-0P
860796-90-3P	860796-91-4P	860796-92-52
860796-93-6P	860796-94-7P	860796-95-82
860796-96-92	860796-97-0P	860796-98-1P
860796-99-22	860797-00-89	860797-01-99
860797-02-0P	860797-03-1P	860797-05-3P
860797-06-4P	860797-07-5P	860797-08-6P
860797-09-7P	860797-10-0P	860797-11-1P
860797-12-2P	860797-13-3P	860797-30-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(drug candidate; preparation of benzylamino

N-(tetrahydronaphthyridinyl)cyclopentane amide modulators of chemokine receptor activity)

RN 860796-11-8 HCAPLUS

ΙT

Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(4-fluorophenyl)methyl]amino]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{F_3} \bigcap_{F_{r-1}} \bigcap_{F_{r-$$

RN 860796-13-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(phenylmethyl)aminol-, (1S,3R)- (CA INDEX NAME)

- RN 860796-15-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(4-chlorophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-17-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[[[4-(methylthio)phenyl]methyl]amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-19-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[[4-(methylsulfonyl)phenyl]methyl]amino]-, (15,3R)- (CA INDEX NAME)

$$\mathbb{A}^{\mathbb{A}^{0}}$$

- RN 860796-20-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]-, (15,38)- (CA INDEX NAME)

- RN 860796-21-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[[(4'-fluoro[1,1'-biphenyl]-4-yl)methyl]amino]-1-(1-methylethyl)-,
 (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-22-1 HCAPLUS
- $\begin{tabular}{ll} CN & Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(2-chlorophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME) \\ \end{tabular}$

$$\bigcup_{l} \bigcup_{\mathbf{p_{r-1}}} \bigcup_{\mathbf{p_{r-1}}$$

RN 860796-23-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(3-chlorophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-24-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(2-methoxyphenyl)methyl]amino]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-25-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(3methoxyphenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

$$\mathsf{Meo} \xrightarrow{\mathsf{H}} \mathsf{R} \xrightarrow{\mathsf{S}} \mathsf{Pr-i} \mathsf{H} \xrightarrow{\mathsf{CF}} \mathsf{CF}$$

RN 860796-26-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(4-methoxyphenyl)methyl]amino]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 860796-27-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(2-pyridinylmethyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 860796-28-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(3-pyridinylmethyl)amino]-, (1S,3R)- (CA INDEX NAME)

- RN 860796-75-4 HCAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-N-[[3,5-bis(trifluoromethyl)phenyl)methyl]-3-[[(4-chlorophenyl)methyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

- RN 860796-78-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(methylamino)-, (1S,3R)- (CA INDEX NAME)

- RN 860796-79-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[1-(3,5-dimethoxyphenyl)ethyl]amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

- RN 860796-80-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(2,4-dimethoxyphenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

MeO
$$\mathbb{R}^{\mathbb{S}}$$
 $\mathbb{R}^{\mathbb{S}}$ $\mathbb{R}^{\mathbb{S}}$ $\mathbb{R}^{\mathbb{S}}$ $\mathbb{R}^{\mathbb{S}}$

- RN 860796-81-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[[(3,4-dimethoxyphenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA
 INDEX NAME)

Absolute stereochemistry.

- RN 860796-82-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[1-(3,4-dimethoxyphenyl)ethyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

$$\stackrel{\text{OMe}}{\underset{\text{Me}}{\bigvee}} \stackrel{\text{OMe}}{\underset{\text{Fr-i}}{\bigvee}} \stackrel{\text{CF}}{\underset{\text{CF}_3}{\bigvee}} \stackrel{\text{CF}}{\underset{\text{CF}_3}{\bigvee}}$$

- RN 860796-83-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[1-(4-methoxyphenyl)ethyl]amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

- RN 860796-84-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[ethyl(phenylmethyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3 \subset \bigoplus_{i=p_2} \bigcap_{i=p_2} \bigcap_{j=p_2} \bigcap_{i=p_2} \bigcap_{j=p_2} \bigcap_{j=p_2} \bigcap_{i=p_2} \bigcap_{j=p_2} \bigcap_{j=p_2} \bigcap_{i=p_2} \bigcap_{j=p_2} \bigcap_{j=p_2$$

- RN 860796-85-6 HCAPLUS
- CN Cyclopentanecarboxamide, 3-[bis(phenylmethyl)amino]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

RN 860796-86-7 HCAPLUS

CN Benzenesulfinic acid, 4-[[(1R,35)-3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-87-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl)-1-(1-methylethyl)-3-[(1-methylethyl)(phenylmethyl)amino]-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3 \subset \bigcup_{i-p_r} S = \bigcup_{i-p_r-i} P_h$$

- RN 860796-88-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[(2,4,6-trimethoxyphenyl)methyl]amino]-, (15,3R)- (CA INDEX NAME)

RN 860796-89-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(3,5-dimethoxyphenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA
INDEX NAME)

Absolute stereochemistry.

- RN 860796-90-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(2,3-dimethoxyphenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-91-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(3-iodophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ \end{array}$$

RN 860796-92-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(3-fluorophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-93-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[([3,4-difluorophenyl)methyl]amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-94-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[[4-(dimethylamino)phenyl]methyl]amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

$$\stackrel{\mathsf{Me}\,2\mathbb{N}}{\longrightarrow} \stackrel{\mathsf{CF}_3}{\longrightarrow} \stackrel{\mathsf{CF}_3}{\longrightarrow} \stackrel{\mathsf{CF}_3}{\longrightarrow}$$

- RN 860796-95-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1-naphthalenylmethyl)amino]-, (1S,3R)- (CA INDEX NAME)

- RN 860796-96-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[[1-(1-naphthalenyl)ethyl]amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-97-0 HCAPLUS
- CN Benzeneacetic acid, $\alpha-[[(1R,3S)-3-[[[13,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-, methyl ester, <math>(\alpha S)$ (CA INDEX NAME)

Serial No.:10/585,232

RN 860796-98-1 HCAPLUS

CN Benzeneacetic acid, α -[[([3,5]-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-, methyl ester, (α R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860796-99-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((1,2,3,4-tetrahydro-1-naphthalenyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860797-00-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(2-bromophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

$$\bigcup_{g_r} \bigcup_{p_{r-1}} \bigcup_{p_{r$$

RN 860797-01-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(2-fluorophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860797-02-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(4-bromophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860797-03-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[[4-chloro-3-(trifluoromethyl)phenyl]methyl]amino]-1-(1-methylethyl)-,
 (15,3R)- (CA INDEX NAME)

- RN 860797-05-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl)methyl]-1-(1-methylethyl)-3-[[(3,4,5-trimethoxyphenyl)methyl]amino]-, (15,3R)- (CA INDEX NAME)

- RN 860797-06-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2-hydroxyethyl)(phenylmethyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860797-07-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[(2-nitrophenyl)methyl]amino]-, (18,3R)- (CA INDEX NAME)

RN 860797-08-6 HCAPLUS

CN Cyclopentanecarboxamide, 3-[[[4-(aminosulfonyl)phenyl]methyl]amino]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860797-09-7 HCAPLUS
- CN Cyclopentanecarboxamide, 3-[(1,3-benzodioxol-5-ylmethyl)amino]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 860797-10-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(2,4-dichlorophenyl)methyl]amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

$$\bigcap_{l} \bigcap_{l} \bigcap_{l$$

RN 860797-11-1 HCAPLUS

CN Cyclopentanecarboxamide, 3-[([1,1'-biphenyl]-2-ylmethyl)amino]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 860797-12-2 HCAPLUS

CN Cyclopentanecarboxamide, 3-[([1,1'-biphenyl]-3-ylmethyl)amino]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 860797-13-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[[13,5-bis(trifluoromethyl)phenyl]methyl]amino]-1-(1-methylethyl)-,
(15,3R)- (CA INDEX NAME)

RN 860797-30-4 HCAPLUS

CN Alanine, N-[(1R,3S)-3-[[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$F_3 \subset \bigcup_{i-P_2} S = \bigcup_{Me} OMe$$

IT 693245-66-8P 693245-67-9P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(intermediate; preparation of benzylamino

 $\mathbb{N}-(\text{tetrahydronaphthyridinyl})\,\text{cyclopentane}$ amide modulators of chemokine receptor activity)

- RN 693245-66-8 HCAPLUS
- CN Carbamic acid, [(1R,3S)-3-[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-

methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$F_3 \subset \bigoplus_{i-p_1} S = \bigoplus_{i-p_2} OBu^{-i}$$

- RN 693245-67-9 HCAPLUS
- CN Cyclopentanecarboxamide, 3-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

IT 693245-63-5P 860797-34-8P 860797-35-9P

860797-36-0P 860797-37-1P 860797-38-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzylamino

N-(tetrahydronaphthyridinyl)cyclopentane amide modulators of chemokine receptor activity)

- RN 693245-63-5 HCAPLUS
- CN Carbamic acid, [(1R,3S)-3-[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-hydroxy-1-methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C \longrightarrow \bigoplus_{Me} S \longrightarrow R \longrightarrow OBu-t$$

- RN 860797-34-8 HCAPLUS
- CN Cyclopentanecarboxamide, 3-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-, hydrochloride (1:1), (13,8]- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 860797-35-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[[(2-nitrophenyl)sulfonyl]amino]-, (1S,3R)- (CA INDEX NAME)

- RN 860797-36-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[methyl[(2-nitrophenyl)sulfonyl]amino]-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 860797-37-1 HCAPLUS
- CN Carbamic acid, [(1R,35)-3-[[[[2-(1,1-dimethylethoxy)-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 860797-38-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[2-(1,1-dimethylethoxy)-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(2,2,2trifluoroacetyl)amino]-, (15,38)- (CA INDEX NAME)

Serial No.:10/585,232

IT 1029820-47-0 1029820-58-3 1029822-79-4
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzylamino N-(tetrahydronaphthyridinyl)cyclopentane amide modulators of chemokine receptor activity)

RN 1029820-47-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(ethylamino)-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1029820-58-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1-methylethyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1029822-79-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2hydroxyethyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

$$F_3 \subset \bigcup_{i-Pr} S = \bigcup_{i-Pr} S$$

ΙT 860797-45-1P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzylamino N-(tetrahydronaphthyridinyl)cyclopentane amide modulators of chemokine receptor activity)

RN 860797-45-1 HCAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[3,5bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2004:412748 HCAPLUS Full-text

DOCUMENT NUMBER: 140:423677 TITLE:

Preparation of

3-(tetrahydropyranylamino)cyclopentanecarboxylic acid

N-benzylamide derivatives and related compounds as modulators of chemokine receptor activity

INVENTOR(S): Butora, Gabor; Mills, Sander G.;

Pasternak, Alexander; Shankaran, Kothandaraman;

Yang, Lihu; Zhou, Changyou;

Goble, Stephen D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 261 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Serial No.:10/585,232

	PATENT NO.								APPLICATION NO.								
WO	2004041161			A2 20040521			WO 2003-US33972										
WO	WO 2004041161				A3		2005	0324									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	ΝI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
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		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
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	CA 2502174																
	AU 2003286701													20031024			
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EP	1558	243			A2		2005	0803		EP 2	003-	7779	11		2	0031	024
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
JP	2006	5140	03		T		2006	0427	JP 2004-550126					20031024			
US	US 20060116421				A1 20060601				US 2005-533326					20050502			
US	US 7390803 B2 20080624																
PRIORIT	Y APP	LN.	INFO	. :					US 2002-422451P			51P	P 20021030			030	
										WO 2	003-	US33	972		W 2	0031	024
OTHER S	OTHER SOURCE(S): MARPAT 140:423677																
ED En																	

AB The title compds. (1) [wherein: X = 0, NR20, S, SO, SO2, CR21R22, NSO2R20, NCOR20, NCOR20, CR21CO2R20, CR21COCR20, CO, OC(Me)20 (where R20 = H, C1-6 alkyl, benzyl, Ph, C3-6 cycloalkyl, etc.; R21, R22 = H, HO, C1-6 alkyl, C1-6 alkyl-S(0)0-2-C0-6-alkyl, N-(un) substituted C1-6 alkyl, C1-6 alkyl-S(0)0-2-C0-6-alkyl, N-(Un) substituted C1-6 alkyl, HO, C02R20, heterocyclyl, cyano, NR20R26, NR26S02R20, NR26C0R21, OCOR20, Ph (where R26 = H, C1-6 alkyl, benzyl, Ph, etc.); R2, R4, R6 = H, C1-6 alkyl, CF3, CF30, C1, Br, Ph; R3 = H, HO, halo, C1-6 alkyl, C1-6 alkoxy, NR20R21, NR20COR21, NR20COR21, NR20COR21, NR20COR21, COR20, SOR20, SOR20, SOR20, SOZNR2OR21; R5 = C1-6 alkyl substituted with 1-6 f and optionally substituted with HO, C1-6 alkoy or CO-C1-6 alkyl each

Serial No.:10/585.232

substituted with 1-6 fluoro, C1-6 alkylthio, pyridyl, F, C1, Br, Ph; R7 = H, C1-6 alkyl, CF3; R8, R9, R10 = H, (un)substituted C1-6 alkyl; or R7 and R8 or R8 and R9 may be joined together to form a ring; R11 = H, C1-6 alkyl, CF3; R27, R28 = oxo, H, Ph, (un)substituted C1-6 alkyl; R29, R30, R31 = H, Me, HO, CF3, MeO, CF30; or R29 and R9 are connected by a C1-3alkyl bridge; m, n = 0-2; the dashed line = a single or a double bond] and pharmaceutically acceptable salts thereof and individual diastereomers thereof are prepared These compds. are useful as modulators of the chemokine receptor CCR-2 for (a) treating, ameliorating or controlling or reducing the risk of an inflammatory or immunoregulatory disorder or disease or (b) treating, ameliorating or controlling rheumatoid arthritis (no data). Thus, reductive amination of N-[3,5-bis(trifluoromethyl)benzyl]-3-oxo-1- isopropylcyclopentane-1-carboxamide with 4-aminotetrahydro-4H-pyran hydrochloride using triacetoxyborohydride in the presence of diisopropylethylamine in CH2C12 at room temperature overnight gave 46% N-[3,5-bis(trifluoromethyl)benzyl]-3-(tetrahydro-4H-pyran-4-ylamino)oxo-1- isopropylcyclopentane-1-carboxamide (II).

IT 1055897-33-0

RL: PRPH (Prophetic)

(Preparation of 3-(tetrahydropyranylamino)cyclopentanecarboxylic acid N-benzylamide derivatives and related compounds as modulators of chemokine receptor activity)

RN 1055897-33-0 HCAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-N-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

IT	693246-26-3P	693246-66-1P	693246-67-2P
	693246-96-7P	693246-97-89	693247-21-1P
	693247-23-3P	693247-25-59	693247-27-7P
	693247-88-0P	693248-78-1P	693248-79-2P
	693248-81-6P	693248-91-8P	693248-92-9P
	693248-94-1P	693248-95-2P	693249-67-1P
	693249-68-2P	693249-69-3P	693249-70-6P
	693283-48-6D		

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-benzyl(tetrahydropyranylamino)cyclopentanecarboxamide derivs. and related compds. as modulators of chemokine receptor CCR-2 for treating inflammatory or immunoregulatory disorders or diseases or rheumatoid arthritis)

RN 693246-26-3 HCAPLUS

G Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(1-hydroxy-1-methylethyl)-3-[[tetrahydro-3-(trifluoromethyl)-2H-pyran-4yl]mmino]-, (15,3R)- (CA INDEX NAME)

RN 693246-66-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-67-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,38)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-96-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl)-1-(2hydroxy-1,1-dimethyl)-3-((tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel-(+)- (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

Page 33 of 249

- RN 693246-97-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl)-1-(2-hydroxy-1,1-dimethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (15,3R)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

- RN 693247-21-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-23-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-ethyltetrahydro-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

$$\bigcup_{Et} \bigcup_{Pr-1}^{CF_3} \bigcup_{F_3}^{CF_3}$$

- RN 693247-25-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

$$\bigcup_{F} \bigcup_{Pr-1} \bigcup_{F_3} \bigcup_{CF_3} \bigcup_{C$$

RN 693247-27-7 HCAPLUS

CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl]phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-C-(trifluoromethyl)-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-88-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-2H-pyran-4-yl)amino]-1-(1-hydroxy-1-methylethyl)-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcup_{F} \bigcup_{HOMe} \bigcup_{F_3} c_{F_3}$$

- RN 693248-78-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[(3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1hydroxy-1-methylethyl)-3-[((35,45)-tetrahydro-3-(trifluoromethyl)-2H-pyran-4-vl]amino[-, (15,38)- (CA INDEX NAME)

- RN 693248-79-2 HCAPLUS
- CN Cyclopentanecarboxamide, N={(3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1hydroxy-1-methylethyl)-3-[(3R,4R)-tetrahydro-3-(trifluoromethyl)-2H-pyran-4-yl]mino]-, (15,3R)- (CA INDEX NAME)

$$\bigcap_{R} \bigoplus_{CF_3} \bigcap_{HO \text{ Me}} \bigcap_{F_3} CF_3$$

- RN 693248-81-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[methyl(9-syn)-3-oxabicyclo[3.3.1]non-9-ylamino]-, (18,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693248-91-8 HCAPLUS
- CN [1,1'-Bicyclopentyl]-1-carboxamide,
 N-[3,3-bis(trifluoromethyl)]phenyl]methyl]-1'-hydroxy-3-[(tetrahydro-2H-pyran-4-yl)aminol-, (1R,35)-rel-(+)- (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 693248-92-9 HCAPLUS

CN [1,1'-Bicyclopentyl]-1-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (15,3R)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RN 693248-94-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl)methyl)-1-(1-hydroxycyclobutyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel-(+)-(CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 693248-95-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxycyclobutyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (15,3R)-rel-(-)-(OA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RN 693249-67-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[(2R,4R)-tetrahydro-2-methyl-2H-pyran-4-yl]amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{R} \bigcap_{R} \bigcap_{R} \bigcap_{P_{1}-1} \bigcap_{P_{2}-1} \bigcap_{CF_{3}} \bigcap_{CF_{3}}$$

RN 693249-68-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[[(2R,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693249-69-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[[(2S,4S)-tetrahydro-2-methyl-2H-pyran-4-yl]amino]-, (1S,3R)- (CA INDEX NAME)

$$\bigcup_{Me}^{H} \bigcup_{R}^{H} \bigcup_{Pr-1}^{CF_3} \bigcup_{F_3}^{CF_3} \bigcup_{F_3}^{CF$$

RN 693249-70-6 HCAPLUS

CN Cyclopentanecarboxamide, N=[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[[(2S,4R)-tetrahydro-2-methyl-2H-pyran-4-yl]amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693283-48-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylthyl)-3-[methyl(9-anti)-3-oxabicyclo[3.3.1]non-9-ylamino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

IT	693245-93-1P	693245-94-2P	693246-15-0F
	693246-37-6P	693246-87-6P	693248-45-29
	693248-46-3P	693249-08-0P	693249-12-69
	693249-23-9P	693249-24-0P	693249-25-19
	693249-30-8P	693249-31-99	693249-32-01
	693249-50-2P	693250-29-2P	

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N-benzyl(tetrahydropyranylamino)cyclopentanecarboxamide derivs. and related compds. as modulators of chemokine receptor CCR-2 for treating inflammatory or immunoregulatory disorders or diseases or rheumatoid arthritis)

RN 693245-93-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 693245-94-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693246-15-0 HCAPLUS

CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-N-[[3-iodo-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-37-6 HCAPLUS

CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2Hpyran-4-yl)amino]-N-[[3-(trifluoromethyl)-5-(trimethylstannyl)phenyl]methyl]-, (15,3R)- (CA INDEX NAME)

- RN 693246-87-6 HCAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[1-[[[[3,5-bis(trifl)]]]]]] bis(trifl)urosmethyl)phenyl]methyl]amino[carbonyl]-3-[(tetrahydro-2H-pyran-4-yl)amino[cyclopentyl]-4-hydroxy-, phenylmethyl ester (CA INDEX NAME)

- RN 693248-45-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyano-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693248-46-3 HCAPLUS
- CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693249-08-0 HCAPLUS
- CN 1-Piperidinecarboxylic acid, 4-[(1R,3S)-1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[methyl(tetrahydro-2H-

pyran-4-yl)amino]cyclopentyl]-, phenylmethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693249-12-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693249-23-9 HCAPLUS
- CN Carbamic acid, [4-[1-[[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(tetrahydro-ZH-pyran-4-yl)amino]cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 693249-24-0 HCAPLUS
- CN Carbamic acid, [4-[1-[[[3,5bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[methyl(tetrahydro-2Hpyran-4-yl)amino]cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI)
 (CA INDEX NAME)

RN 693249-25-1 HCAPLUS

CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazoly1)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 693249-30-8 HCAPLUS

CN Carbamic acid, [4-[1-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(tetrahydro-2H-pyran-4yl)amino]cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 693249-31-9 HCAPLUS

CN Carbamic acid, [4-[1-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[methyl(tetrahydro-2Hpyran-4-yl)amino]cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 693249-32-0 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazoly1)-N-[[3-fluoro-5-(trifluoromethy1)pheny1]methy1]-3-[methy1(tetrahydro-2H-pyran-4-y1)amino]-(CA INDEX NAB;

- RN 693249-50-2 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazoly1)-N-[3,5-bis(trifluoromethy1)phenyl]methyl]-3-[methyl](tetrahydro-2H-pyran-4-yl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 693250-29-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel- (CA INDEX

NAME)

Relative stereochemistry.

IT 693245-95-3P 693245-96-4P 693245-97-5P

693245-98-6P	693245-99-7P	693246-02-5P
693246-03-6P	693246-04-7P	693246-05-8P
693246-06-9P	693246-07-0P	693246-08-1P
693246-09-2P	693246-10-5P	693246-11-6P
693246-12-79	693246-17-2P	693246-18-3P
693246-19-4P	693246-20-7P	693246-21-8P
693246-22-9P	693246-23-0P	693246-24-1P
693246-25-2P	693246-27-4P	693246-28-5P
693246-29-6P	693246-30-9P	693246-31-0P
693246-32-1P	693246-33-2P	693246-36-5P
693246-38-7P	693246-39-8P	693246-40-1P
693246-43-4P	693246-47-8P	693246-49-0P
693246-50-3P	693246-51-4P	693246-64-99
693246-65-0P	693246-68-3P	693246-69-49
693246-70-79	693246-71-8P	693246-73-0P
693246-74-1P	693246-75-2P	693246-76-3P
693246-77-4P	693246-78-5P	693246-79-6P
693246-80-9P	693246-81-0P	693246-82-1P
693246-83-2P	693246-84-3P	693246-88-7P
693246-89-8P	693246-90-19	693246-94-5P
693246-95-6P	693246-98-9P	693247-18-6P
693247-19-7P	693247-22-2P	693247-24-4P
693247-26-6P	693247-28-8P	693247-29-9P
693247-30-2P	693247-31-3P	693247-32-4P
693247-33-5P	693247-34-6P	693247-35-72
693247-36-8P	693247-37-9P	693247-38-0P
693247-39-1P	693247-40-4P	693247-42-6P
693247-43-7P	693247-44-8P	693247-45-9P
693247-46-0P	693247-47-1P	693247-48-2P
693247-49-3P	693247-50-6P	693247-51-79
693247-52-8P	693247-53-9P	693247-54-0P
693247-55-1P	693247-56-2P	693247-57-3P
693247-58-4P	693247-59-5P	693247-60-8P
693247-61-9P	693247-62-0P	693247-63-1P
693247-64-2P	693247-65-3P	6932476642
693247-68-6P	693247-69-7P	693247-70-0P
693247-71-1P	693247-72-2P	693247-73-3P
693247-74-4P	693247-75-5P	693247-76-6P
693247-77-7P	693247-78-8P	693247-79-99
693247-80-2P	693247-82-49	693247-83-5P
693247-84-6P	693247-85-72	693247-86-89
693247-87-92	693247-89-1P	693247-90-4P
693247-91-5P	693247-92-6P	693247-93-7₽
693247-94-8P	693247-95-9P	693247-96-0P

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693247-97-19
              693247-98-2P
                             693247-99-3p
693248-00-92
             693248-01-0P
                            693248-05-4P
693248-06-5P
            693248-10-1P
                            693248-11-2P
693248-42-92
             693248-47-4P
                            693248-49-6P
693248-52-1P
             693248-56-5P
                            693248-58-7P
693248-59-8P
              693248-61-2P
                             693248--62-3P
             693248-68-9P
                            693248-72-5P
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             693248-76-9P
                            693248-77-0P
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693249-05-7P
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                            693249-11-5P
693249-09-1P
              693249-10-4P
693249-13-7P
              693249-14-8P
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            693249-27-3P
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                            693249-36-4P
693249-41-10
            693249-43-30
                            693249-44-4P
693249-45-5P
              693249-49-9P
                             693249-51-3P
693249-52-4P
              693249-56-8P
                             693249-57-9P
693249-58-0P
             693249-59-1P
                            693249-63-72
693249-65-9P 693249-66-0P
                            693249-71-7P
693249-75-1P 693249-76-2P
                            693249-81-9P
693249-82-0P 693249-87-5P 693249-88-6P
693249-89-79
            693249-90-0P 693249-91-1P
693249-92-2P
            693249-93-3P
                            693249-94-4P
693249-95-5P
             693249-96-6P
                             693249-97-7P
693249-98-8P
            693249-99-9P
                            693250-00-9P
                            693250-03-2P
693250-01-0P 693250-02-1P
693250-04-3P 693250-05-4P 693250-06-5P
693250-10-1P
            693250-11-2P
                           693250~12~3P
693250-13-4P
                           693250-16-7P
            693250-14-5P
693250-17-8P
             693250-18-9P
                            693250-19-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
```

(preparation of N-benzyl(tetrahydropyranylamino)cyclopentanecarboxamide derivs. and related compds. as modulators of chemokine receptor CCR-2 for treating inflammatory or immunoregulatory disorders or diseases or rheumatoid arthritis)

RN 693245-95-3 HCAPLUS

N Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[ethyl(tetrahydro-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, (1R,38)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 693245-96-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-

methylethyl)-3-[propyl(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$\bigcap_{n-Pr} \bigcap_{p_{r-1}} \bigcap_{p_{r-1}} \bigcap_{p_{r}} \bigcap$$

RN 693245-97-5 HCAPLUS

CN Glycine, N-[(1R,3S)-3-[[[[3,5bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1methylethyl)cyclopentyl]-N-(tetrahydro-2H-pyran-4-yl)-, methyl ester, rel(CA INDEX NAME)

Relative stereochemistry.

- RN 693245-98-6 HCAPLUS

Relative stereochemistry.

• I -

- RN 693245-99-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-

methylethyl) -3-[methyloxido(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 693246-02-5 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(3-fluorophenyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

$$0 \\ \text{NH} \\ \text{CF}_{1} \\ \text{NH} \\ \text{CH}_{2} \\ \text{F}_{2} \\ \text{F}_{3} \\ \text{CF}_{3} \\ \text{CF}_{4} \\ \text{CF}_{5} \\ \text{CF}_{5}$$

- RN 693246-03-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(3-fluorophenyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693246-04-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(3-methoxyphenyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693246-05-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(3-methoxyphenyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693246-06-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(tetrahydro-2H-pyran-4-yl)amino]-1-(2-thienyl)- (CA INDEX NAME)

- RN 693246-07-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(tetrahydro-2H-pyran-4-yl)amino]-1-(2-thienyl)- (CA INDEX NAME)

- RN 693246-08-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-1-(3-thienyl)- (CA INDEX NAME)

- RN 693246-09-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-1-(3-thienyl)- (CA INDEX NAME)

- RN 693246-10-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]-1phenyl-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693246-11-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1phenyl-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693246-12-7 HCAPLUS
- CN Cyclopentanecarboxamide, 3-[acetyl(tetrahydro-2H-pyran-4-yl)amino]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 693246-17-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-chloro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)-(CA INDEX NAME)

RN 693246-18-3 HCAPLUS

CN Cyclopentanecarboxamide, N-([1,1'-biphenyl]-3-ylmethyl)-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S, 3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-19-4 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-20-7 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-((tetrahydro-2Hpyran-4-yl)amino]-N-[[3-[5-(trifluoromethyl)-1H-tetrazol-1yl]phenyl]methyl]-, (15,38)- (CA INDEX NAME)

RN 693246-21-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-22-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[(3,5-dichlorophenyl)methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-23-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (18,38)- (CA INDEX NAME)

RN 693246-24-1 HCAPLUS

CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,38)-3-[[([3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-hydroxy-1methylethyl)cyclopentyl]amino]-, 4-(3-chlorobenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-25-2 HCAPLUS
- CN Cyclopentanecarboxamide, 3-[(3-fluorotetrahydro-2H-pyran-4-yl)amino]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-,
 (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-27-4 HCAPLUS
- CN Cyclopentanecarboxamide, 3-(cyclohexylamino)-N-[[3-fluoro-5-(trifluoromethyl]phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-, (1S,3R)-(CA INDEX NAME)

RN 693246-28-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2-hydroxycyclohexyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-29-6 HCAPLUS

CN Cyclopentanecarboxylic acid, 3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino|carbonyl]-3-(1-methylethyl)cyclopentyl]amino|-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C \longrightarrow \bigoplus_{i=Pi}^S \longrightarrow \bigoplus_{i=Pi}^R \longrightarrow \bigoplus_{i=Pi}^R \bigcap_{i=Pi}^R \bigcap_{i=Pi}^$$

RN 693246-30-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1-methyl-4-piperidinyl)amino]-, (1S,3R)- (CA INDEX NAME)

RN 693246-31-0 HCAPLUS

CN Cyclopentanecarboxamide, 3-[(1-acetyl-4-piperidinyl)amino]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\mathbb{A}_{\mathsf{C}} = \mathbb{A}_{\mathsf{P}_{\mathsf{F}}-1} = \mathbb{A}_{\mathsf{F}_{\mathsf{F}}} = \mathbb{A}_{\mathsf{F}_{\mathsf{F}}}$$

RN 693246-32-1 HCAPLUS

CN Cyclopentanecarboxylic acid, 3-[[(1R,3S)-3-[[[13,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino] (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-33-2 HCAPLUS

CN Cyclopentanecarboxamide, 1-[1-(acetylamino)-1-methylethyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (18,38)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-36-5 HCAPLUS

CN Cyclopentanecarboxamide, 1-[1-(acetylamino)-1-methylethyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[[(35,45)-tetrahydro-3-methyl-2H-pyran-4-yl]amino]-, (15,3R)- (CA INDEX NAME)

RN 693246-38-7 HCAPLUS

CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1S, 3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-39-8 HCAPLUS

CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[[3-fluoro-5-(trifluoromethyl)]phenyl]methyl]amino]carbonyl]-3-(1-hydroxy-1-methylethyl)cyclopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-40-1 HCAPLUS

CN Cyclopentanecarboxemide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(1-hydroxy-1-methylethyl)-3-[methyl(tetrahydro-3-methyl-2H-pyran-4yl)amino]-, (15,3R)- (CA INDEX NAME)

RN 693246-43-4 HCAPLUS

CN Cyclopentanecarboxamide, N=[(3-cyclopropyl-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-47-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-l-(methylthio)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-49-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(methylsulfonyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R, 3R)- (CA INDEX NAME)

- RN 693246-50-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(1methylethyl)thio]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcup_{\mathsf{CF}_3} \mathbb{H} \bigcup_{\mathsf{CF}_3} \mathbb{C}_{\mathsf{F}_3}$$

- RN 693246-51-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(1methylethyl)sulfonyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-64-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[(3,5-bis(trifluoromethyl)phenyl)methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 693246-68-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(methylsulfonyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-69-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[[(35,45)-tetrahydro-3-methyl-2H-pyran-4-yl]amino]- (CA INDEX NNB)

- RN 693246-70-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[[(3S,4S)-tetrahydro-3-methyl-2H-pyran-4-

yl]amino]-, (1S, 3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-71-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[[(35,48)-tetrahydro-3-methyl-2H-pyran-4-yl]amino]-, (18,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-73-0 HCAPLUS
- CN Cyclopentanecarboxamide, 1-[1-(acetylamino)-1-methylethyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693246-74-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[methyl[(3S,48)-tetrahydro-3-methyl-2H-pyran-4-yl]amio]-, (18,78)- (CA INDEX NAME)

RN 693246-75-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[ethyl[(3,48)-tetrahydro-3-methyl-2H-pyran-4-yl]amino]-1-(1-hydroxy-1methylethyl)-, (18,38)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-76-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclohexylamino)-1-(1-hydroxy-1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-77-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(2-methylcyclohexyl)amino]-, (1S,3R)- (CA INDEX NAME)

- RN 693246-78-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclopentylamino)-1-(1-hydroxy-1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-79-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-, (1R,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693246-80-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-4-methyl-2H-pyran-4-yl)amino]-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 693246-81-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-4-methyl-2H-pyran-4-yl)amino]-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

$$\bigcap_{R} \bigoplus_{r=1}^{R} \bigcap_{r=1}^{R} \bigcap_{r=1}^{R$$

- RN 693246-82-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1hydroxy-1-methylethyl)-3-[(tetrahydro-4-methyl-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693246-83-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[methyl(tetrahydro-4-methyl-2H-pyran-4-yl)amino]-(OA INDEX NAME)

- RN 693246-84-3 HCAPLUS
- $\begin{tabular}{ll} CN & Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME) \\ \end{tabular}$

- RN 693246-88-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(4-hydroxy-4-piperidinyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX

NAME)

RN 693246-89-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(tetrahydro-4-hydroxy-2H-pyran-4-yl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-(CA INDEX NAME)

RN 693246-90-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(dicyclopropylhydroxymethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 693246-94-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-hydroxy-1,1-dimethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, ([R,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693246-95-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-hydroxy-1,1-dimethylethyl)-3-([tetrahydro-2H-pyran-4-yl)amino]-, ([R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693246-98-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1,1-dimethyl)propyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693247-18-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxyethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (15,3R)- (CA INDEX NAME)

- RN 693247-19-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxyethyl)-3-[[1-(hydroxymethyl)cyclopentyl]amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-22-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-((tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-24-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-ethyltetrahydro-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (1s.3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693247-26-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-28-8 HCAPLUS

CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-(-trifluoromethyl)-2,3-dideoxy-,monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693247-29-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-3-propyl-2H-pyran-4-yl)amino)-, (1S,3R)- (CA INDEX NAME)

RN 693247-30-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[(tetrahydro-3-propyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693247-31-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-3,3-dimethyl-2H-pyran-4-yl)amino)-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-32-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3,3-dimethyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[tetrahydro-3-(trifluoromethyl)-2H-pyran-4-yl]amino]-, (15,38) - (Ca INDEX NAME)

Absolute stereochemistry.

$$\bigcup_{\mathsf{CF}_3} \bigcup_{\mathsf{Pr}-1} \bigcup_{\mathsf{F}_3} \mathsf{CF}_3$$

- RN 693247-34-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[i3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[tetrahydro-3-(trifluoromethyl)-2H-pyran-4-yl]amino]-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693247-35-7 HCAPLUS
- CN 2H-Pyran-3-carboxylic acid, 4-[[(1R,38)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]tetrahydro-, ethyl ester (CA INDEX NAME)

- RN 693247-36-8 HCAPLUS
- CN 2H-Pyran-3-carboxylic acid, 4-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]tetrahydro-, ethyl ester, hydrochloride

(1:1) (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-37-9 HCAPLUS

CN 2H-Pyran-3-carboxylic acid, 4-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]tetrahydro- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-38-0 HCAPLUS

CN 2H-Pyran-3-carboxylic acid, 4-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-39-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-

methylethyl)-3-[(tetrahydro-2H-pyran-3-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{\mathbb{F}_3} \bigcap_{\mathbb{F}_{r-1}} \bigcap_{\mathbb{F}_3} C_{\mathbb{F}_3}$$

- RN 693247-40-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-3-yl)amino]-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{P_{r-1}}\bigcap_{P_{r-1}}\bigcap_{C_{F_3}}C_{F_3}$$

● HCl

- RN 693247-42-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[(tetrahydro-2H-thiopyran-4-yl)amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-43-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[(tetrahydro-2H-thiopyran-4-yl)amino]-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

$$\bigcup_{\mathbb{R}} \bigcup_{\mathbb{R}} \bigcup_{\mathbb{R} \bigcup_{\mathbb{R}} \bigcup_{\mathbb{R}} \bigcup_{\mathbb{R}} \bigcup_{\mathbb{R}} \bigcup_{\mathbb{R}} \bigcup_{\mathbb{R}} \bigcup_{\mathbb{R}} \bigcup$$

● HCl

- RN 693247-44-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-, (19,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-45-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-, hydrochloride (1:1), (1:3,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 693247-46-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-oxepanylamino)-, (1S,3R)- (CA INDEX NAME)

RN 693247-47-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-oxepanylamino)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-48-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3-furanyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-49-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-3-furanyl)amino]-, hydrochloride (1:1), (18,38)- (CA INDEX NAME)

HC1

RN 693247-50-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[[(tetrahydro-3-furanyl)methyl]amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-51-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[(tetrahydro-3-furanyl)methyl]amino]-, hydrochloride (1:1), (1s,3n)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 693247-52-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3-thienyl)amino]-, (1S,3R)- (CA INDEX NAME)

RN 693247-53-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-3-thienyl)amino]-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693247-54-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-oxetanylamino)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-55-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-oxetanylamino)-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

RN 693247-56-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclohexylamino)-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-57-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclonexylamino)-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693247-58-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2-methylcyclohexyl)amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

RN 693247-59-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2-methylcyclohexyl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (18,38)-(CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693247-60-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cycloheptylamino)-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-61-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cycloheptylamino)-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

RN 693247-62-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclopentylamino)-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-63-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclopentylamino)-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693247-64-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclobutylamino)-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

RN 693247-65-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclobutylamino)-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HCl

- RN 693247-66-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(cyclobutylmethyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-68-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(cyclobuylmethyl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

● HCl

RN 693247-69-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(2,3-dihydro-1H-inden-2-yl)amino]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-70-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(2,3-dihydro-H=inden-2-yl)amino]-1-(1-methylethyl)-, hydrochloride
 (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 693247-71-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2,3-dihydro-1H-inden-1-yl)amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

- RN 693247-72-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2,3-dihydro-lH-inden-1-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (15,3p)- (CA INDEX NAME)

- RN 693247-73-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3,4-dihydro-2H-1-benzopyran-4-yl)amino]-1-(1-methylethyl)-, (18,3R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-74-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(triflooromethyl)phenyl]methyl]-3-[(3,4-di)hydro-2H-1-benzopyran-4-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

- RN 693247-75-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]-, (1S,3R)- (CA INDEX NAME)

- RN 693247-76-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693247-77-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-2-methyl-2H-pyran-4-yl)amino)-, (1S,3R)- (CA INDEX NAME)

$$\bigcup_{Me} \bigcup_{Pr-i} \bigcup_{Pr-i} CF_3$$

- RN 693247-78-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2-methyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- HC1
- RN 693247-79-9 HCAPLUS
- CN Hexonic acid, 2,6-anhydro-4-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl]phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-3,4,5-trideoxy-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{\mathsf{N}} \bigcap_{\mathsf{N}} \bigcap_{\mathsf{Pr}-1} \bigcap_{\mathsf{CF}_3} \mathsf{CF}_3$$

- RN 693247-80-2 HCAPLUS
- CN Hexonic acid, 2,6-anhydro-4-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-3,4,5-trideoxy-, methyl ester, monohydrochloride (901) (CA INDEX NAME)

● HC1

- RN 693247-82-4 HCAPLUS
- CN Cyclopentanecarboxamide, N=[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(2,2-dimethyl-1,3-dioxan-5-yl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA
 INDEX NAME)

Absolute stereochemistry.

- RN 693247-83-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2,2-dimethyl-1,3-dioxan-5-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (1s,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-84-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-piperidinylamino)-, (1S,3R)- (CA INDEX NAME)

$$\underset{H}{\bigoplus} \underset{R}{\bigoplus} \underset{P_{T-1}}{\bigoplus} \underset{P_{F3}}{\bigoplus} \underset{P_{F3}}{\bigoplus}$$

RN 693247-85-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-piperidinylamino)-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 693247-86-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-thyltetrahydro-2H-pyran-4-yl)amino]-1-(1-hydroxy-1-methylethyl)-, (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-87-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-ethyltetrahydro-2H-pyran-4-yl)amino]-1-(1-hydroxy-1-methylethyl)-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

RN 693247-89-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-ZB-pyran-4-yl)amino]-1-(1-hydroxy-1-methylethyl)-, hydrochloride (1:1), (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693247-90-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3cyclopropyltetrahydro-2H-pyran-4-yl)amino]-1-(1-hydroxy-1-methylethyl)-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-91-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-cyclopropyltetrahydro-2H-pytran-4-yl)amino]-1-(1-hydroxy-1-methylethyl)-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

● HCl

- RN 693247-92-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-3-yl)amino]-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-93-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-3-yl)amino]-, hydrochloride (1:1), (1s,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693247-94-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-thiopyran-4-yl)amino]-, (18,3R)-(CA INDEX NAME)

RN 693247-95-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-thiopyran-4-yl)amino]-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 693247-96-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(2,2-dimethyl-1,3-dioxan-5-yl)amino]-1-(1-hydroxy-1-methylethyl)-,
 (18,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-97-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[{3,5-bis(trifluoromethyl)phenyl}methyl]-3-[(2,2-dimethyl-1,3-dioxan-5-yl)amino]-1-(1-hydroxy-1-methylethyl)-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

HC1

RN 693247-98-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-((tetrahydro-3-methyl-2H-thiopyran-4-yl)amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-99-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-3-methyl-2H-thiopyran-4-yl)amino]-, hydrochloride (1:1), (13,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693248-00-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[methyl(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (1S,3R)-(CA INDEX NAME)

RN 693248-01-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-2H-pyran-4-yl)methylamino]-1-(1-methylethyl)-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{F_{2}} \bigcap_{F_{r-1}} \bigcap_{F_{r}} \bigcap$$

RN 693248-05-4 HCAPLUS

CN Cyclopentanecarboxamide, 3-[(3-ethyltetrahydro-2H-pyran-4-yl)amino]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693248-06-5 HCAPLUS

CN Cyclopentanecarboxamide, 3-[(3-ethyltetrahydro-2H-pyran-4-yl)amino]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

● HCl

- RN 693248-10-1 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-N-[[3-(1H-tetrazol-1-yl)-5-(trifluoromethyl)phenyl]methyl]-, (1S, 3R) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693248-11-2 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-N-[[3-(1H-tetrazol-1-yl)-5-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

■ HC1

- RN 693248-42-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-cyclopropyltetrahydro-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, (15,3R)-(CA INDEX NAME)

- RN 693248-47-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-1-(1H-1,2,4-triazol-5-yl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693248-49-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[methyl (tetrahydro-2H-pyran-4-yl)amino]-1-(2-methyl-2H-tetrazol-5-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693248-52-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[1-(methylsulfonyl)-3-piperidinyl]amino]-, (1R,35)-rel-(CA INDEX NAME)

Relative stereochemistry.

$$\mathsf{Me}^{\mathsf{O}} = \mathsf{Pr}_{-1} \mathsf{Pr}$$

RN 693248-56-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((1a,5a,6β)-3-oxabicyclo[3.1.0]hex-6-ylamino]-, (1R,38)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 693248-58-7 HCAPLUS

CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]anino]carbonyl]-3-(1-methylethyl)cyclopentyl]anino]-2,3-dideoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693248-59-8 HCAPLUS

CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-2,3-dideoxy-4-0-methyl- (9CI) (CA INDEX NAME)

RN 693248-61-2 HCAPLUS

CN Pentitol, 1,5-anhydro-3-[[([R,38)-3-[[[[3,5-bis(trifluoromethyl) phenyl]methyl]amino]carbonyl]-3-(1-hydroxy-1-methylethyl)cyclopentyl]amino]-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693248-62-3 HCAPLUS

CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-hydroxy-1-methylethyl)cyclopentyl]amino]-2,3-dideoxy-4-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693248-65-6 HCAPLUS

CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethy1)pheny1]methy1]amino]carbony1]-3-(1-hydroxy-1-methy1ethy1)cyclopenty1]amino]-2,3-dideoxy-4-C-methy1- (9CI) (CA INDEX NAME)

RN 693248-68-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-methyl-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693248-72-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1ethyl-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693248-75-8 HCAPLUS

CN Carbamic acid, [(1R,3R)-1-[[[[3,5-bis(trifl)]]]]]] bis(trifl)[uronmethyl]] bis(trifl)[uronmethyl]] bis(trifl)[uronmethyl]] bis(trifl)[uronmethyl]] bis(trifl)[uronmethyl]] bis(trifl)[uronmethyl]]

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RN 693248-76-9 HCAPLUS

CN Cyclopentanecarboxamide, 1-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693248-77-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl|methyl|-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-3-(trifluoromethyl)-2H-pyran-4-yl|amino|-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693248-80-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(9-anti)-3-oxabicyclo[3.3.1]non-9-ylamino]-, (18,38)- (CA INDEX NAME)

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxycyclohexyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3\$)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693248-86-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxycyclohexyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693248-87-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxycyclohexyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693248-88-3 HCAPLUS
- CN [1,1'-Bicyclopentyl]-1-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl

N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 693248-89-4 HCAPLUS

CN [1,1'-Bicyclopentyl]-1-carboxamide,
N-[3,5-bis(trifluoromethyl)]henyl]methyl]-1'-hydroxy-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693248-90-7 HCAPLUS
- CN [1,1'-Bicyclopentyl]-1-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693248-93-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxycyclobutyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693249-01-3 HCAPLUS
- CN [1,1'-Bicyclopentyl]-1-carboxamide,
 N-[3,5-bis(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)mino]-, (15,3R)- (CA INDEX NAME)

- RN 693249-02-4 HCAPLUS
- CN [1,1'-Bicyclopentyl]-1-carboxamide,
 N-[(3,5-bis(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693249-03-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclohexyl-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693249-04-6 HCAPLUS
- CN [1,1'-Bicyclopentyl]-1-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-

yl)amino]- (CA INDEX NAME)

$$\bigcap_{\mathrm{NH}}\bigcap_{\mathrm{NH}-\mathrm{CH}_{2}}\bigcap_{\mathrm{CF}_{3}}^{\mathrm{CF}_{3}}$$

RN 693249-05-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclobutyl-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 693249-06-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[[13,5-bis(trifluoromethyl)phenyl]methyl]amino|carbonyl]-3-[(tetrahydro-2H-pyran-4-yl)amino|cyclopentyl]-, phenylmethyl ester (CA INDEX NAME)

RN 693249-07-9 HCAPLUS

CN l-Piperidinecarboxylic acid, 4-{(1R,35)-1-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino|carbonyl]-3-[(tetrahydro-2H-pyran-4-yl)amino|cyclopentyl]-, phenylmethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693249-09-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-1-(4-piperidinyl)-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 693249-10-4 HCAPLUS

CN Cyclopentanecarboxamide, 1-(1-acetyl-4-piperidinyl)-N-[[3,5-bis(trifluoromethyl)phenyl)methyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-, (1R,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$\bigcap_{\mathbb{R}^3} \bigcap_{\mathbb{R}^3} CF_3$$

RN 693249-11-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-1-[1-(methylsulfonyl)-4-piperidinyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693249-13-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[methyl(tetrahydro-2H-pyran-4-yl)amino]-1-(phenylmethyl)- (CA INDEX NAME)

RN 693249-14-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[methyl(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 693249-17-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693249-21-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-propyl-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

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- RN 693249-27-3 HCAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693249-33-1 HCAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-(CA INDEX NAME)

- RN 693249-34-2 HCAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-(CA INDEX NAME)

CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazolyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclohexylamino)- (CA INDEX NAME)

- RN 693249-36-4 HCAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-4-thiazoly1]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclopentylamino)- (CA INDEX NAME)

- RN 693249-41-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methyl-4-thiazolyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693249-43-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methyl-4-thiazolyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 693249-44-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methyl-4-thiazolyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 693249-45-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(tetrahydro-2H-pyran-4-yl)amino]-1-(4-thiazolyl)- (CA INDEX NAME)

- RN 693249-49-9 HCAPLUS
- CN Cyclopentanecarboxamide, N=[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[1methylethyl)-3-[[tetrahydro-3-(1H-1,2,4-triazol-1-yl)-2H-pyran-4-yl]amino]-, hydrochloride (1:2), (18,3R)- (CA INDEX NAME)

●2 HCl

RN 693249-51-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[tetrahydro-3-(H-tetrazol-1-yl)-2H-pyran-4-yl]amino]-, hydrochloride (1:2), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

■2 HC1

- RN 693249-52-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[tetrahydro-3-(1H-tetrazol-1-yl)-2H-pyran-4-yl]amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693249-56-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(1R,2R)-2-cyanocyclopropyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, rel(CA INDEX NAME)

Relative stereochemistry.

- RN 693249-57-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl)methyl)-1-(2-cyanocyclopropyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693249-58-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-cyanocyclopropyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693249-59-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(1R,2R)-2-oyanocyclopropyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-,
 rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693249-63-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(3-exo)-8-oxabicyclo[3.2.1]oct-3-ylamino] (CA INDEX NAME)

Relative stereochemistry.

- RN 693249-65-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[tetrahydro-3-(phenylmethyl)-2H-pyran-4-yl]amino]-, (18,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693249-66-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[tetrahydro-3-(phenylmethyl)-2H-pyran-4-yl]amino]-, hydrochloride (1:1), (1:5,3R)- (CA INDEX NAME)

RN 693249-71-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-cyclopropyltetrahydro-2H-pyran-4-yl)methylamino]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C \longrightarrow 0 \qquad Me$$

$$i-p_1$$

- RN 693249-75-1 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-H-[[3-(4H-1,2,4-triazol-4-yl)-5-(trifluoromethyl)phenyl]methyl]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693249-76-2 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-N-[[3-(4H-1,2,4-triazol-4-yl)-5-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

HC1

RN 693249-81-9 HCAPLUS
CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-N-[[3-(2H-tetrazol-5-yl)-5-(trifluoromethyl)phenyl]methyl]-,
(1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693249-82-0 HCAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-N-[[3-(2H-tetrazol-5-yl)-5-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:1), (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693249-87-5 HCAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4yl)amino]-N-[(3-(3H-1,2,4-triazol-5-yl)-5-(trifluoromethyl)phenyl]methyl]-, (18,3R)- (CA INDEX NAME)

- RN 693249-88-6 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-N-[[3-(3H-1,2,4-triazol-5-yl)-5-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:1), (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693249-89-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclohexylamino)-1-(1-methylethyl)- (CA INDEX NAME)

- RN 693249-90-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclohexylamino)-1-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

● HCl

RN 693249-91-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(trans-4-hydroxycyclohexyl)amino]-1-(1-methylethyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 693249-92-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(trans-4-hydroxycyclohexyl)amino]-1-(1-methylethyl)-, hydrochloride (1:1)
(CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 693249-93-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(1R,2R)-2-hydroxycyclohexyl]amino]-1-(1-methylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693249-94-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-

 $\label{lem:condition} $$ [[(1R,2R)-2-hydroxycyclohexyl]amino]-1-(1-methylethyl)-, \ hydrochloride (1:1), \ rel- (CA INDEX NAME) $$$

Relative stereochemistry.

● HCl

- RN 693249-95-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(2,3-dimethylcyclohexyl)amino]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 693249-96-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2,3-dimethylcyclohexyl)amino]-1-(1-methylethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
 - CM 1
 - CRN 693249-95-5
 - CMF C26 H36 F6 N2 O

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 693249-97-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2-methylcyclohexyl)amino]-1-(1-methylethyl)- (CA INDEX NAME)

RN 693249-98-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2-methylcyclohexyl)amino]-1-(1-methylethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM :

CRN 693249-97-7

CMF C25 H34 F6 N2 O

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 693249-99-9 HCAPLUS

CN [1,1'-Bicyclopentyl]-1-carboxamide,

N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[methyl(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693250-00-9 HCAPLUS
- CN [1,1'-Bicyclopentyl]-1-carboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[methyl(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693250-01-0 HCAPLUS
- CN [1,1'-Bicyclopentyl]-1-carboxamide,
 N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[(tetrahydro-3methyl-2H-pyran-4-yl)amino]-, (18,3R)- (CA INDEX NAME)

- RN 693250-02-1 HCAPLUS
- CN [1,1'-Bicyclopentyl]-1-carboxamide,

N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693250-03-2 HCAPLUS

CN [1,1'-Bicyclopentyl]-1-carboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1'-hydroxy-3-[methyl(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693250-04-3 HCAPLUS

CN [1,1'-Bicyclopentyl]-1-carboxamide,
N-[[3-fluoro-5-(trifluoromethyl]phenyl]methyl]-1'-hydroxy-3[methyl(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1),
(1S, 3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693250-05-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-l[(methylsulfonyl)amino]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3R)(CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{M \in \mathcal{M}} \bigcap_{F_3} C_{F_3}$$

RN 693250-06-5 HCAPLUS

CN Cyclopentanecarboxamide, 1-(acetylamino)-N-[[3,5bis(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693250-10-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3-cyano-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693250-11-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[i3-cyano-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (15,38)- (CA INDEX NAME)

$$\bigcap_{\mathbf{R}} \bigcap_{\mathbf{S} \in \mathbf{Pr-i}} \bigcap_{\mathbf{r} \in \mathbf{F}_3} \bigcap_{\mathbf{r} \in \mathbf{F}_3}$$

● HCl

- RN 693250-12-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693250-13-4 HCAPLUS
- CN Cyclopentanecarboxamide, 1-[1-(acetylamino)-1-methylethyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-(CA INDEX NAME)

- RN 693250-14-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-((tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693250-16-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (1R,38)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 693250-17-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[[tetrahydro-3-(trifluoromethyl)-2H-pyran-4-yl]amino]-, (1R,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693250-18-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[methyl(tetrahydro-2H-pyran-4-yl)amino]-1-(4-piperidinyl)- (CA INDEX NAME)

- RN 693250-19-0 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-acety1-4-piperidiny1)-N-[[3,5-bis(trifluoromethy1)pheny1]methy1]-3-[methy1(tetrahydro-2H-pyran-4-y1)anino]- (CA INDEX NAME)

693250-20-3P	693250-30-5P	693250-31-6P
693250-32-7P	693273-47-1P	693273-48-2P
693273-49-3P	693273-50-6P	693273-51-72
693273-52-8P	693273-53-9P	693273-54-0P
693273-55-1P	693273-56-2P	693273-57-3P
693273-58-4P	693273-59-5P	693273-60-8P
693273-61-9P	693273-62-0P	693273-63-1P
693273-64-2P	693273-65-39	693273-66-4P
693283-50-0P	693283-52-29	693283-54-42
693283-56-6P	693283-58-8P	693283-60-22
693283-63-5P	693283-65-7₽	693283-67-9P
	693273-49-3P 693273-52-8P 693273-55-1P 693273-58-4P 693273-61-9P 693273-64-2P 693263-50-0P 693283-56-6P	693250-32-7P 693273-47-1P 693273-50-6P 693273-55-6P 693273-55-6P 693273-55-6-2P 693273-55-4P 693273-56-2P 693273-64-2P 693273-65-3P 693283-56-2P 693283-56-6P 693283-58-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-benzyl(tetrahydropyranylamino)cyclopentanecarboxamide derivs. and related compds. as modulators of chemokine receptor CCR-2 for treating inflammatory or immunoregulatory disorders or diseases or rheumatoid arthritis)

- RN 693250-20-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[1(methylsulfonyl)-4-piperidinyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino](CA INDEX NAME)

- RN 693250-30-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-4-methyl-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 693250-31-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-hydroxy-1,1-dimethylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 693250-32-7 HCAPLUS

CN l-Piperidinecarboxylic acid, 4-[1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]cyclopentyl]-, phenylmethyl ester (CA INDEX NAME)

RN 693273-47-1 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]-, ethyl ester (CA INDEX NAME)

$$\mathbb{E}^{\mathsf{t},0} = \mathbb{E}^{\mathsf{t},0} = \mathbb{E}^{\mathsf{t},0$$

RN 693273-48-2 HCAPLUS

CN Cyclobutanecarboxylic acid, 3-[[(1R,35)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-, 1,-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C \underbrace{ \left(\begin{array}{c} 0 \\ 1 \\ -P_1 \end{array} \right)}_{S} S \underbrace{ \left(\begin{array}{c} 0 \\ 0 \\ -P_2 \end{array} \right)}_{OBu-t} OBu-t$$

RN 693273-49-3 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(1R,35)-3-[[[(3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

RN 693273-50-6 HCAPLUS

Absolute stereochemistry.

RN 693273-51-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1(methylsulfonyl)-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (3R)- (CA
INDEX NAME)

- RN 693273-52-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(methylsulfonyl)-3-[methyl(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

- RN 693273-53-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3,5-dimethyl-2H-pyran-4-yl)amino]-, (1S,3R)-(CA INDEX NAME)

- RN 693273-54-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3,5-dimethyl-2H-pyran-4-yl)amino]-,

hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 693273-55-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(4-methylcyclohexyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693273-56-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(4-methylcyclohexyl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (15,3R)-(CA INDEX NAME)

Absolute stereochemistry.

■ HC1

- RN 693273-57-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(4-hydroxycyclohexyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\$$

RN 693273-58-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(4-hydroxycyclohexyl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693273-59-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(octahydro-2H-1-benzopyran-4-yl)amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693273-60-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1α,5α,6α)-3-oxabicyclo[3.1.0]hex-6-ylamino]-, (15,38)- (9c1) (CA INDEX NAME)

Relative stereochemistry.

- RN 693273-61-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-([6-hydroxy-3-oxabicyclo[3.3.1]non-9-yl)amino]-, (15,3R)- (CA INDEX NAME)

- RN 693273-62-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(3-oxabicyclo[3.3.1]non-6-en-9-ylamino)-, (15,38)- (CA INDEX NAME)

- RN 693273-63-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(methyl-3-oxabicyclo[3.3.1]non-6-en-9-ylamino)-, (1S,38)- (CA INDEX NAME)

RN 693273-64-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-5-methyl-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693273-65-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-oxabicyclo[3.2.1]oct-8-ylamino)-, (1s,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693273-66-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-oxabicyclo[3.2.1]oct-8-ylamino)-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

● HCl

- RN 693283-50-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(methyl-3-oxabicyclo[3.3.1]non-9-ylamino)-, (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693283-52-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1hydroxy-1-methylethyl)-3-[(9-syn)-3-oxabicyclo[3.3.1]non-9-ylamino]-, (15,3R)- (CA INDEX NAME)

RN 693283-54-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl|methyl]-1-(1-methylethyl)-3-(8-oxabicyclo[3.2.1]oct-3-ylamino)-, (1R,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693283-56-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(8-oxabicyclo[3.2.1]oct-3-ylamino)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693283-58-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(8-oxabicyclo[3,2.1]oct-3-ylamino)-, hydrochloride (1:1), (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693283-60-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(8-oxabicyclo[3.2.1]oct-3-ylamino)-, (1R,3R)- (CA INDEX NAME)

RN 693283-63-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(8-oxabicyclo[3.2.1]oct-3-ylamino)-, hydrochloride (1:1), (1R.38)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693283-65-7 HCAPLUS

CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(8-oxabicyclo]3.2.1]oct-3-ylamino)-, hydrochloride (1:1), (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693283-67-9 HCAPLUS

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CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-oxabicyclo[3.1.0]hex-6-ylamino)-, (1R,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

```
693245-63-5P
            693245-64-6P
                            693245-66-8P
693245-67-99
              693245-74-8P
                            693245-75-9P
            693246-13-8P
693245-78-2P
                            693246-14-9P
693246-45-6P
            693246-46-72
                           693246-48-9P
693246-52-5P 693246-53-6P
                           693246-93-4P
693247-15-3P 693247-17-5P 693248-02-1P
693248-04-3P 693248-48-5P
                           693248-50-9P
            693248-57-6P
693248-51-0P
                           693248-60-1P
693248-66-7P
             693248-67-8P
                            693248-70-3P
693248-71-4P
             693248-73-6P
                            693248-74-79
693248-99-6P
            693249-00-2P
                           693249-15-99
693249-16-0P
            693249-19-3P
                           693249-20-6P
```

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-benzyl(tetrahydropyranylamino)cyclopentanecarboxamide derivs. and related compds. as modulators of chemokine receptor CCR-2 for treating inflammatory or immunoregulatory disorders or diseases or rheumatoid arthritis)

- RN 693245-63-5 HCAPLUS
- CN Carbamic acid, [(1R,3S)-3-[[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-hydroxy-1-methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693245-64-6 HCAPLUS
- CN Cyclopentanecarboxamide, 3-amino-N-[{3,5bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-, (18,3R)-(CA INDEX NAME)

RN 693245-66-8 HCAPLUS

CN

Carbamic acid, [(1R,3S)-3-[[[3,5-bis(trifluoromethyl)phenyl]methyl=ndiplopentyl]-3-(1-methyl=thyl)cyclopentyl]-, 1,1-dimethyl=thyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693245-67-9 HCAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693245-74-8 HCAPLUS

CN Carbamic acid, [(1R,3R)-3-azido-3-[[[3,5-bis(trifluoromethyl)phenyl]methyl)amino]carbonyl]cyclopentyl]-,1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$F_3C \underbrace{ \bigcup_{B_3}^{\circ} B_{B_3}}_{CF_3} \underbrace{ \bigcup_{B_3}^{\circ} B_{B_3}}_{B_3} \underbrace{ \bigcup_{B_3}^{\circ} B_{B_3}}_{CF_3} \underbrace{ \bigcup_{B_3}^{\circ} B_{B_3}}_{$$

RN 693245-75-9 HCAPLUS

CN

Carbamic acid, [(1R,3R)-3-amino-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino|carbonyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\mathbb{F}_{3}\mathbb{C} \underbrace{ \mathbb{F}_{3}}^{\mathbb{R}} \mathbb{F} \underbrace{ \mathbb{F}_{3}}^{\mathbb{R}} \mathbb{F}$$

- RN 693245-78-2 HCAPLUS
- CN Carbamic acid, [(1R,3S)-3-azido-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-13-8 HCAPLUS
- CN Carbamic acid, ((1R,3S)-3-(1-hydroxy-1-methylethyl)-3-[[[[3-iodo-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-14-9 HCAPLUS
- CN Cyclopentanecarboxamide, 3-amino-1-(1-hydroxy-1-methylethyl)-N-[[3-iodo-5-(trifluoromethyl)phenyl]methyl]-, (1S,3R)- (CA INDEX NAME)

RN 693246-45-6 HCAPLUS

CN Carbamic acid, [(1R)-3-[[[[3,5-]] bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(methylthio)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-46-7 HCAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(methylthio)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-48-9 HCAPLUS

CN Carbamic acid, [(1R)-3-[[[[3,5-bis(trifluoromethy1)pheny1]methy1]amino]carbony1]-3(methylsulfony1)cyclopenty1]-, 1,1-dimethylethy1 ester (9CI) (CA INDEX NAME)

RN 693246-52-5 HCAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-N-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693246-53-6 HCAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-N-(phenylmethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693246-93-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1,1-dimethyl-2-propen-1-yl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

RN 693247-15-3 HCAPLUS

CN Carbamic acid, [(1R,38)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-

hydroxyethyl)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-17-5 HCAPLUS CN Cyclopentanecarboxam

CN Cyclopentanecarboxamide, 3-amino-N-[13,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxyethyl)-, (1S,3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 693247-16-4 CMF C17 H20 F6 N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 693248-02-1 HCAPLUS

CN Carbamic acid, [(1R,38)-3-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693248-04-3 HCAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693248-48-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-1-(2H-tetrazol-5-yl)-, (1R,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 693248-50-9 HCAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[(1R,3S)-3-[[[[3,5-

bis(trifluoromethyl)phenyl|methyl|amino|carbonyl|-3-(1methylethyl)cyclopentyl|amino|-, 1,1-dimethylethyl ester, rel- (CA INDEX
NAME)

Relative stereochemistry.

$$t$$
-Buo

- RN 693248-51-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-piperidinylamino)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 693248-57-6 HCAPLUS
- CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-2,3-dideoxy-, 4-(3-chlorobenzoate) (9CI) (CA INDEX NAME)

- RN 693248-60-1 HCAPLUS
- CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-hydroxy-1-methylethyl)cyclopentyl]amino]-2,3-dideoxy-, 4-(3-chlorobenzoate) (9CI) (CA INDEX NAME)

RN 693248-66-7 HCAPLUS

CN Carbamic acid, [(1R)-3-[[[[3,5bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-methylcyclopentyl]-, 1,1-d-imethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 693248-67-8 HCAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[3,5bis(trifluoromethyl)phenyl]methyl]-1-methyl-, hydrochloride (1:1), (3R)-(CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693248-70-3 HCAPLUS

CN Carbamic acid, [(IR)-3-[[[[3,5bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-ethylcyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$F_3 \subset \bigcup_{E_1} \bigcup_{E_1} \bigcup_{E_2} OBu-t$$

RN 693248-71-4 HCAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-ethyl-, hydrochloride (1:1), (3R)-(CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 693248-73-6 HCAPLUS
- CN Carbamic acid, [(1R,3R)-1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[[(1,1-dimethylethoxy)carbonyl]amino]cyclopentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

- RN 693248-74-7 HCAPLUS
- CN Carbamic acid, [(1R,3R)-3-amino-1-[[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]cyclopentyl]-, phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693248-99-6 HCAPLUS

CN [1,1'-Bicyclopentyl]-1-carboxamide,
3-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1'-hydroxy-, (18,3R)(CA INDEX NABE)

Absolute stereochemistry.

RN 693249-00-2 HCAPLUS

CN Carbamic acid, [(15,38)-1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-1'-hydroxy[1,1'-bicyclopentyl]-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 693249-15-9 HCAPLUS
- CN Carbamic acid, [(1R,3S)-3-[[[1-[3,5-

bis(trifluoromethyl)phenyl]ethyl]amino]carbonyl]-3-(1-hydroxy-1-methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693249-16-0 HCAPLUS
- CN Cyclopentanecarboxamide, 3-amino-N-[1-[3,5bis(trifluoromethyl)phenyl]ethyl]-1-(1-hydroxy-1-methylethyl)-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 693249-19-3 HCAPLUS
- CN Carbamic acid, [(1R,35)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-propylcyclopentyl]-, 1,1-dimethylethyl ester (901) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693249-20-6 HCAPLUS
- CN Cyclopentanecarboxamide, 3-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-propyl-, (1S,3R)- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

L30 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2009:300680 HCAPLUS Full-text

DOCUMENT NUMBER: 150:494705

TITLE: Design, synthesis, and structure-activity relationship

of novel CCR2 antagonists

AUTHOR(S): Kothandaraman, Shankaran; Donnely, Karla L.;

Butora, Gabor; Jiao, Richard; Pasternak,

Alexander; Morriello, Gregori J.; Goble, Stephen

D.; Zhou, Changyou; Mills, Sander

G.; MacCoss, Malcolm; Vicario, Pasquale P.;

Ayala, Julia M.; DeMartino, Julie A.; Struthers, Mary; Cascieri, Margaret A.; Yang, Lúhu

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research

Laboratories, Rahway, NJ, 07065, USA

Bioorganic & Medicinal Chemistry Letters (2009),

19(6), 1830-1834

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 150:494705

ED Entered STN: 13 Mar 2009

GI

SOURCE:

AB A series of novel 1-aminocyclopentyl-3-carboxamides incorporating substituted tetrahydropyran moleties have been synthesized and evaluated for their antagonistic activity against the human CCR2 receptor. Among them analog I was found to posses potent antagonistic activity.

IT 1149374-71-9P RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design, synthesis, and structure-activity relationship of novel

tetrahydropyranylaminocyclopentanecarboxamides as CCR2 antagonists)
RN 1149374-71-9 HCAPLUS

Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-CN methylethyl)-3-[[(3R,4R)-tetrahydro-3-methyl-2H-pyran-4-yl]amino]-, (1S, 3R) - (CA INDEX NAME)

Absolute stereochemistry.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design, synthesis, and structure-activity relationship of novel tetrahydropyranylaminocyclopentanecarboxamides as CCR2 antagonists)

693247-22-2 HCAPLUS CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN

HC1

693247-24-4 HCAPLUS RN

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3ethyltetrahydro-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

$$\bigcup_{\mathbb{R}^{t}} \bigcap_{\mathbb{R}^{t}} \bigcap_{$$

HC1

RN 693247-26-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-ZH-pyran-4-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693247-28-8 HCAPLUS

CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-C-(trifluoromethyl)-2,3-dideoxy-,monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcup_{\mathbb{H}} \bigcap_{\mathbb{CF}_3} \bigcap_{\mathbb{P}_{r-1}} \bigcap_{\mathbb{CF}_3} \bigcap_{\mathbb$$

● HCl

RN 693247-30-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-3-propyl-2H-pyran-4-yl)amino)-, hydrochloride (1:1), (1s,3H)- (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{P_r-n}\bigcap_{P_{r-1}}\bigcap_{P_{r-1}}\bigcap_{CF_3}$$

● HCl

RN 693247-32-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3,3-dimethyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (13,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-34-6 HCAPLUS

Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[tetrahydro-3-(trifluoromethyl)-2H-pyran-4-yl]amino]-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693247-40-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethy1)pheny1]methy1]-1-(1-

methylethyl)-3-[(tetrahydro-2H-pyran-3-yl)amino]-, hydrochloride (1:1),
(1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 693247-43-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[i3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[(tetrahydro-2H-thiopyran-4-yl)amino]-, hydrochloride (i:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 693247-45-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-, hydrochloride (1:1), (13,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HC1

RN 693247-47-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-(4-oxepanylamino)-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 693247-49-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-3-furanyl)amino]-, hydrochloride (1:1), (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693247-53-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3-thienyl)amino]-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693247-57-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclohexylamino)-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-61-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cycloheptylamino)-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-63-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclopentylamino)-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

● HCl

RN 693247-65-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclobutylamino)-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-70-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl|methyl|-3-[(2,3-dihydro-1H-inden-2-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-72-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(2,3-dihydro-H=inden-l-yl)amino]-1-(1-methylethyl)-, hydrochloride
(1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-74-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3,4-dihydro-2H-1-benzopyran-4-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693247-76-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]-, hydrochloride (1:1), (1s,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 693247-78-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2-methyl-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 693273-54-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-3,5-dimethyl-2H-pyran-4-yl)amino)-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 1149374-66-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, hydrochloride (1:1), (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 1149374-67-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[[(tetrahydro-2H-pyran-4-yl)methyl]amino]-, hydrochloride (1:1), (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

- RN 1149374-68-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-piperidinylamino)-, hydrochloride (1:?), (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

x HCl

- RN 1149374-69-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-cyclopropyltetrahydro-2H-pytran-4-yl)amino]-1-(1-methylethyl)-, hydrochloride (1:1), (18,3R)- (CA INDEX NAME)

● HCl

- RN 1149374-70-8 HCAPLUS
- CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-2,3-dideoxy-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 1149374-72-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[(38,48)-tetrahydro-3-methyl-2H-pyran-4-yl]amino]-, (18,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 1149374-73-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[[(3R,4R)-3-ethyltetrahydro-2H-pyran-4-yl]amino]-1-(1-methylethyl)-,
 (15,3R)- (CA INDEX NAME)

RN 1149374-74-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(35,45)-3-ethyltetrahydro-2H-pyran-4-yl]amino]-1-(1-methylethyl)-, (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1149374-75-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl|methyl|-3-[[(3R,4R)-3-fluorotetrahydro-2H-pyran-4-yl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 1149374-76-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[[35,45]-3-fluorotetrahydro-2H-pyran-4-yl]amino]-1-(1-methylethyl)-,
[15,3R)- (CA INDEX NAME)

RN 1151469-20-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-(3-oxetanylamino)-, (1s,3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 693247-54-0

CMF C21 H26 F6 N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 693245-66-8P 860797-45-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design, synthesis, and structure-activity relationship of novel tetrahydropyranylaminocyclopentanecarboxamides as CCR2 antagonists)

RN 693245-66-8 HCAPLUS

CN Carbamic acid, [(1R,3S)-3-[[[[3,5-

bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$F_3C \underbrace{ \underbrace{ \underbrace{ \underbrace{ \underbrace{ \underbrace{ \underbrace{ S}} } }_{i-Pr} \underbrace{ \underbrace{ \underbrace{ \underbrace{ \underbrace{ C}} } }_{i-Pr} \underbrace{ \underbrace{ \underbrace{ \underbrace{ C}} }_{i-Pr} \underbrace{ \underbrace{ \underbrace{ \underbrace{ C}} }_{i-Pr} \underbrace{ \underbrace{ \underbrace{ C}} }_{i-Pr} \underbrace{ \underbrace{ \underbrace{ \underbrace{ C}} }_{i-Pr} \underbrace{ \underbrace{ \underbrace{ C}} }_{i-Pr} \underbrace{ \underbrace{ \underbrace{ \underbrace{ C}} }_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ C}}_{i-Pr} \underbrace{ \underbrace{ C}}_{i-Pr} \underbrace{ C}$$

RN 860797-45-1 HCAPLUS

CN

Cyclopentanecarboxamide, 3-amino-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-l-(1-methylethyl)-, hydrochloride (1:1), (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

● HCl

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:799448 HCAPLUS Full-text

DOCUMENT NUMBER: 141:314341

TITLE: Preparation of

(tetrahydropyranylamino)cyclopentanecarbonylsubstituted fused azaheterocycles as modulators of

cytokine receptors such as CCR2
INVENTOR(S): Goble, Stephen D.; Pasternak, Alexander;

Mills, Sander G.; Zhou, Changyou;

Yang, Lihu

PATENT ASSIGNEE(S): Merck & Co. Inc., USA SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Fatent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT 1	10.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
WO 20040	0826	16		A2 A3		2004 2005			WO 2	004-	US78	31		2	0040	312
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     EP 1606280
                         A2
                               20051221 EP 2004-720505
                                                                  20040312
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                                                                  20040312
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                        A 20070831 IN 2005-DN4099
A1 20060810 US 2005-550111
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                                                                  20050919
     US 7393844
                        B2 20080701
PRIORITY APPLN. INFO.:
                                           US 2003-456046P P 20030318
WO 2004-US7831 A 20040312
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OTHER SOURCE(S): MARPAT 141:314341

ED Entered STN: 30 Sep 2004

GT

AB

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Compds. I [A = R82C, C(:O), NR8, O; B = R22C, O, S(:O), SO2, NSO2R14,

NC(:O)R13, NC(:O)NR122,C(:O); D, X = C, N; E = (CH2)n; G = CH:CH, CH2CH2; Y = O, R12N, S, S(:0), SO2, R112C, etc.; n = 0-2; R1 = H, NC, (un)substituted alkyl, heterocyclyl, Ph, R122N, R13C(:0)N(R12), R14SO2N(R12), R11C(:0), R122NC(:O); R2 = H, alkyl, F, HO, heterocyclyl, R13C(:O)NH, etc.; R3, R4 = absent, H, (un)substituted alkyl, HO, Cl, O, etc.; R5 = (un)substituted alkyl, alkoxy, alkylcarbonyl, alkylthio, pyridyl, etc.; R8 = H, alkyl, (un) substituted alkylcarbonylalkyl; R11 = HO, H, (un) substituted alkyl, alkoxy, cycloalkyl, benzyl, phenyl; R12 = H, (un)substituted alkyl, benzyl, Ph, cycloalkyl; R13 = H, (un)substituted alkyl, alkoxy, benzyl, Ph, cycloalkyl; R14 = H, HO, (un)substituted alkyl, benzyl, Ph, cycloalkyl; R15 = H, (un) substituted alkyl; R16 = H, (un) substituted alkyl, alkoxy, cycloalkyl, F, HO, etc.; R17 = H, HO, (un)substituted alkyl, alkoxy, R11C(:0); R18 = H, F, (un) substituted alkyl, cycloalkoxy, alkoxy; R16 and either R17 or R18 may be joined in a ring] such as II are prepared as modulators of cytokine receptors such as CCR2 for the treatment of inflammatory and immune system disorders such as rheumatoid arthritis. Coupling of (tert-butoxy)(trifluoromethyl)benzylamine III and nonracemic (tetrahydropyranylamino)cyclopentanecarboxylic acid IV followed by cleavage of the tert-Bu group, cyclocondensation with paraformaldehyde, and cleavage of

the trifluoroacetamide yields II as its hydrochloride salt. III is prepared by nucleophilic substitution of 2-fluoro-5-(trifluoromethyl)benzonitrile with potassium tert-butoxide followed by hydrogenation of the nitrile moiety. IV is prepared by Boc protection of the amine moiety of V, benzylation of the carboxylic acid group, cleavage of the Boc group, reductive amination of the amine with tetrahydropyran-4-one, trifluoroacetylation of the secondary amine, stereoselective alkylation of the ester with potassium

bis(trimethylsilyl)amide and iso-Pr iodide, and hydrogenolysis of the benzyl ester; a second route to IV is also described. Compds. of the invention inhibit CCR2 with IC50 values of < 1 µM (no data).

765297-58-3P 765297-59-4P 765297-61-8P 765297-63-0P 765297-71-0P 765297-72-1P 765297-76-5P 765297-77-6P 765297-78-7P 765297-79-8P 765297-84-5P 765297-85-6P 765297-90-3P 765297-91-4P 765297-93-6P 765298-02-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of (tetrahydropyranylamino)cyclopentanecarbonyl-substituted fused azaheterocycles as modulators of cytokine receptors such as CCR2 for the treatment of inflammatory and immune system diseases such as rheumatoid arthritis)

RN 765297-58-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[2-(1,1-dimethylethoxy)-5-

(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 765297-59-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[(2-hydroxy-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 765297-61-8 HCAPLUS

CN Cyclopentanecarboxamide, 1-[1-(acetyloxy)ethyl]-N-[(2-(1,1-dimethylethoxy)-5-(trifluoromethyl)phenyl]methyl]-3-[(terrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 765297-63-0 HCAPLUS

CN Cyclopentanecarboxamide, 1-[1-(acetyloxy)ethyl]-N-[[2-hydroxy-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2trifluoroacetyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 765297-71-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[2-[(1,1-dimethylethyl)thio]-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 765297-72-1 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-N-[[2-[(2-nitrophenyl)dithio]-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (IS,3R)- (CA INDEX NAME)

- RN 765297-76-5 HCAPLUS
- CN Cyclopentanecarboxamide, 1=[(15)-1-(acetyloxy)ethyl]-N-[[2-[(1,1-dimethylethyl)thio]-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 765297-77-6 HCAPLUS
- CN Cyclopentanecarboxamide, 1-[(1R)-1-(acetyloxy)ethyl]-N-[[2-[(1,1-dimethylethyl)thio]-5-(trifluoromethyl)phenyl|methyl]-3-[(tetrahydro-2H-pyran-4-yl)(2,2-trifluoroacetyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 765297-78-7 HCAPLUS
- CN Cyclopentanecarboxamide, 1-{(15)-1-(acetyloxy)ethyl)-N-[[2-(2-nitrophenyl)dithio]-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 765297-79-8 HCAPLUS
- CN Cyclopentanecarboxamide, 1-{(1R)-1-(acetyloxy)ethyl]-N-[[2-[(2-nitrophenyl)dithio]-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (18,3R)- (CA INDEX NAME)

RN 765297-84-5 HCAPLUS

CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[[[2-[(1,1dimethylethyl)thio]-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1methylethyl)cyclopentyl](trifluoroacetyl)amino]-4-O-methyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

- RN 765297-85-6 HCAPLUS
- CN Pentitol, 1,5-anhydro-2,3-dideoxy-4-O-methyl-3-[[(1R,3S)-3-(1-methylethyl)3-[[[[2-[(2-nitrophenyl)dithio]-5(trifluoromethyl)phenyl]methyl]amino]carbonyl]cyclopentyl](trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

- RN 765297-90-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[2-amino-5-(trifluoromethyl)phenyl]methyl]-1-

(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino], (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 765297-91-4 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-N-[[2-[(methylsulfonyl)amino]-5-(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2trifluoroacetyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 765297-93-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[2-amino-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[methyl(tetrahydro-2H-pyran-4-yl)amino]-, (1S, 3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 765298-02-0 HCAPLUS
- CN Cyclopentanecarboxamide, N=[(5-chloro-2-hydroxyphenyl)methyl]-1-(1methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (1S,3R)- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

1 REFERENCE COUNT: THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:740293 HCAPLUS Full-text DOCUMENT NUMBER: 141:260762

TITLE:

Preparation of aminocyclopentyl fused heterotricyclic amide derivatives as modulators of chemokine receptor

activity INVENTOR(S): Goble, Stephen D.; Pasternak, Alexander;

Tang, Cheng; Zhou, Changyou; Yang,

Lihu

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.								APPLICATION NO.									
WO	2004	0764	11		A2		2004	0910										
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CA	2516 1599	705			A1		2004	0910										
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US	2006	0004	714		A1		2007	0104										
US PRIORIT	7557 Y APF				В2		2009	0707			003- 004-							
										WO Z	004-	0502	<i>></i> /		4 21	0040.	223	

OTHER SOURCE(S): MARPAT 141:260762

ED Entered STN: 10 Sep 2004

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AΒ The title compds. (I) [A = C, N; D, E = independently C, N, O, SO, or SO2, where by a fused carbocycle is formed if A, D and E are all C, where by a fused heterocycle is formed if at least one of A, D, or E is N, O, or S; X = O. N. S. SO2. C: R1 = H. C1-6 alkvl. C0-6 alkvl-O-C1-6 alkvl. C0-6 alkvl-S-C1-6 alkyl, C0-6 alkyl-C3-7 cycloalkyl-C0-6 alkyl, hydroxy, heterocycle, cyano, NH2, acylamino, sulfonylamino, acyl, CONH2, etc.; if D = C, then R2 = H, Ph, oxo, (un)substituted C1-3 alkyl or alkoxy; if D = N, then R2 = H, Ph, oxo, (un) substituted C1-3 alkyl or alkoxy; if D = O, SO, or SO2, then R2 is absent; if E = C, then R3 = H, HO, Cl, F, Br, Ph, oxo, (un)substituted C1-3 alkyl or alkoxy; if E = N, then R3 = H, Ph, oxo, or (un)substituted C1-3 alkyl or alkoxy; R4 = Cl. F. Br. Ph. (un)substituted C1-3 alkyl or C1-3 alkoxy; R5 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylcarbonyl, C1-6 alkylthio, pyridyl, F, C1, Br, C4-6 cycloalkyl, C4-6 cycloalkoxy, Ph, etc.; R6 = H, HO, Cl, F, Br, Ph, (un) substituted C1-3 alkyl or alkoxy, etc.; R7 = H, phenyl-, heterocyclyl-, C3-7 cycloalkyl-, acyl-, or sulfo-C0-6 alkyl, etc.; when X = O, then R7 is absent; R8 = H, HO, C1-6 alkyl, hydroxy-C1-6 alkyl, C1-3 alkoxy, acyl, NH2, cyano, etc.; R9, R10 = H, HO, C1-6 alkyl or alkoxy, benzyl, Ph, etc.; m, n = 0-2] and pharmaceutically acceptable salts thereof and individual diastereomers thereof are prepared These compds. are useful as modulators of the chemokine receptor CCR-2 and could be useful in the prevention or treatment of certain inflammatory and immunoregulatory disorders and diseases, allergic diseases, atopic conditions including allergic rhinitis, dermatitis, conjunctivitis, and asthma, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis (no data). Thus, intermediate (II) was cyclocondensed with paraformaldehyde in the presence of p-MeC6H4SO3H in toluene under refluxing for 18 h with removal of water using a Dean-Stark trap to give the precursor (III; R = COCF3) which was treated with NaBH4 in ethanol at room temperature for 18 h to give, after HPLC purification and treatment with HC1/Et2O, III.xHC1 (R = H).
 - II 754241-65-1P 754241-66-2P 754241-67-3P 754241-70-8P 754241-72-0P 754241-74-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of aminocyclopentyl fused heterotricyclic amide derivs. as

(preparation of aminocyclopentyl fused heterotricyclic amide derivs. as modulators of chemokine receptor activity)

RN 754241-65-1 HCAPLUS

CN

Cyclopentanecarboxamide, 1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-N-[(5-(trifluoromethyl)-1H-benzimidazol-7-yl)methyl)-, (15,3R)- (CA INDEX NAME)

- RN 754241-66-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[2,3-dihydro-2-oxo-6-(trifluoromethyl)-1H-benzimidazol-4-yl]methyl]-1(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 754241-67-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[1,3-dihydro-2,2-dioxido-6-(trifluoromethyl)-2,1,3-benzothiadiazol-4-yl)methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 754241-70-8 HCAPLUS
- CN 1H-Benzimidazole-1-carboxylic acid,
 2,3-dihydro-4-[[[[(15,3R)-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]cyclopentyl]carbonyl]amino]methyl]-2-oxo-6-(trifluoromethyl)-, phenylmethyl ester (CA INDEX NAME)

- RN 754241-72-0 HCAPLUS
- CN 2,1,3-Benzothiadiazole-1(3H)-carboxylic acid, 4-[[[(15,3R)-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]cyclopentyl]carbonyl]amino]methyl]-6-(trifluoromethyl)-, phenylmethyl ester, 2,2-dioxide (CA INDEX NAME)

Absolute stereochemistry.

- RN 754241-74-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[2,3-dihydro-1-methyl-2-oxo-6-(trifluoromethyl)-1H-benzimidazol-4-yl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (1S,3R)- (CA INDEX NAME)

OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
		(1 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
		RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Structure Search

=> FILE HCAPLUS
FILE 'HCAPLUS' ENTERED AT 15:14:18 ON 05 NOV 2009
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FILE COVERS 1907 - 5 Nov 2009 VOL 151 ISS 19
FILE LAST UPDATED: 4 Nov 2009 (20091104/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> D STAT QUE L11 L1 STR

Structure attributes must be viewed using STN Express query preparation.

L5 545 SEA FILE=REGISTRY SSS FUL L1 L7 STR

Structure attributes must be viewed using STN Express query preparation. L9 $$462\ SEA\ FILE=REGISTRY\ SUB=L5\ SSS\ FUL\ L7$

L11 7 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L9

=> S L11 NOT L21

L31 1 L11 NOT L21

=> FILE WPIX

FILE 'WPIX' ENTERED AT 15:14:35 ON 05 NOV 2009

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FILE LAST UPDATED: 2 NOV 2009 <20091102/UP>
MOST RECENT UPDATE: 200970 <200970/DW>
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>>> IPC, ECLA, US National Classifications and Japanese F-Terms and FI-Terms have been updated with reclassifications to mid-June 2009.
No update date (UP) has been created for the reclassified documents, but they can be identified by specific update codes (see HELP CLA for details)

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http://www.stn-international.com/stn_guide.html

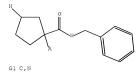
FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE

http://scientific.thomsonreuters.com/support/patents/coverage/latestupdates/

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0: http://www.stn-international.com/DWPIAnaVist2 0608.html

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <>< 'BI,ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> D STAT QUE L24 L7 STR



Structure attributes must be viewed using STN Express query preparation. L23 131 SEA FILE=WPIX SSS FUL L7

L24 3 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L23/DCR

=> S L24 NOT L25 L32 0 L24 NOT L25

=> FILE MARPAT

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FILE CONTENT: 1961-PRESENT VOL 151 ISS 17 (20091030/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090233972 17 SEP 2009 DE 102008014117 17 SEP 2009 EP 2100589 16 SEP 2009 JP 2009215171 24 SEP 2009 WO 2009116098 24 SEP 2009 GB 2457820 02 SEP 2009 2928371 11 SEP 2009 FR RU 2366648 10 SEP 2009 CA 2653107 08 AUG 2009

The new MARPAT User Guide is now available at: http://www.cas.org/support/stngen/stndoc/marpat.html.

=> D STAT QUE L29 L7 STR

Structure attributes must be viewed using STN Express query preparation. T-29 25 SEA FILE=MARPAT SSS FUL L7

100.0% PROCESSED 82488 ITERATIONS SEARCH TIME: 00.00.32

25 ANSWERS

=> DUP REM L31 L32 L29 L32 HAS NO ANSWERS

FILE 'HCAPLUS' ENTERED AT 15:15:06 ON 05 NOV 2009

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PROCESSING COMPLETED FOR L31 PROCESSING COMPLETED FOR L32

PROCESSING COMPLETED FOR L29

L33

26 DUP REM L31 L32 L29 (0 DUPLICATES REMOVED)

ANSWER '1' FROM FILE HCAPLUS

ANSWERS '2-26' FROM FILE MARPAT

=> D IBIB ED ABS HITSTR 1; D IBIB AB OHIT 2-26

L33 ANSWER 1 OF 26 HCAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2004:1124588 HCAPLUS Full-text

DOCUMENT NUMBER: 142:69197

TITLE: CCR-2 antagonists for treatment of neuropathic pain

INVENTOR(S): Abbadie, Catherine; Lindia, Jill Ann; Wang, Hao

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 304 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110376	A2	20041223	WO 2004-US17499	20040602
WO 2004110376	A3	20050224		

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             IJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE,
             SN, TD, TG
     US 20060205761
                         A1
                              20060914
                                           US 2005-559701
                                                                  20051206
PRIORITY APPLN. INFO.:
                                            US 2003-476391P
                                                              P 20030606
                                           US 2003-531637P
                                                              P 20031222
                                                              W 20040602
                                           WO 2004-US17499
OTHER SOURCE(S):
                        MARPAT 142:69197
   Entered STN: 23 Dec 2004
AB
    The invention is directed to methods of treating neuropathic pain and other
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neuropathic diseases and conditions with CCR-2 antagonists and pharmaceutical composition containing CCR-2 antagonists.

693273-50-6 RL: PRPH (Prophetic)

(CCR-2 antagonists for treatment of neuropathic pain) 693273-50-6 HCAPLUS RN

CN

Cyclobutanecarboxylic acid, 3-[[(1R,3S)-3-[[[[3,5bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1methylethyl)cyclopentyl]amino]- (CA INDEX NAME)

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693246-04-79
            693246-05-8P
                           693246-06-92
693246-07-0P
             693246-09-2P
                           693246-10-5P
693246-11-6P 693246-17-2P
                           693246-18-3P
693246-19-4P 693246-20-7P 693246-21-8P
693246-22-9P 693246-23-0P 693246-24-1P
693246-25-2P 693246-26-3P 693246-27-4P
693246-28-5P 693246-29-6P 693246-30-9P
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693247-25-5P 693247-27-7P
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693247-31-3P 693247-33-5P 693247-35-7P
693247-37-9P 693247-39-1P 693247-42-6P
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693247-75-5P 693247-77-7P 693247-79-9P
693247-82-4P 693247-84-6P 693247-86-8P
693247-88-0P 693247-90-4P 693247-92-6P
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693247-94-8P 693247-96-0P
                            693247-98-2P
693248-00-9P 693248-01-0P
                            693273-47-1P
693273-48-2P 693273-53-9P 693273-55-1P
693273-57-3P 808144-59-4P
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                            808144-66-3P
808144-67-4P
             808144-68-5P
                            808144-69-6P
808144-70-99
            808144-71-0P
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- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (CCR2 antagonists for treatment of neuropathic pain)
- RN 693246-04-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(3-methoxyphenyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

$$0 \\ \text{NH} \\ \text{C} \\ \text{NH} \\ \text{CH}_2 \\ \text{F}$$

- RN 693246-05-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(3-methoxyphenyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693246-06-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(tetrahydro-2H-pyran-4-yl)amino]-1-(2-thienyl)- (CA INDEX NAME)

- RN 693246-07-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-1-(2-thienyl)- (CA INDEX NAME)

- RN 693246-09-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(tetrahydro-2H-pyran-4-yl)amino]-1-(3-thienyl)- (CA INDEX NAME)

- RN 693246-10-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1phenyl-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693246-11-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1phenyl-3-[(tetrahydro-2H-pyran-4-yl)amino]- (CA INDEX NAME)

- RN 693246-17-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-chloro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)-(CA INDEX NAME)

RN 693246-18-3 HCAPLUS

CN Cyclopentanecarboxamide, N-([1,1'-biphenyl]-3-ylmethyl)-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-19-4 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-20-7 HCAPLUS
- CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-((tetrahydro-2Hpyran-4-yl)amino]-N-[[3-[5-(trifluoromethyl)-1H-tetrazol-1yl]phenyl]methyl]-, (15,38)- (CA INDEX NAME)

RN 693246-21-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-22-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[(3,5-dichlorophenyl)methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-23-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (18,38)- (CA INDEX NAME)

RN 693246-24-1 HCAPLUS

CN Pentitol, 1,5-anhydro-2,3-dideoxy-3-[[(1R,3S)-3-[[([3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-hydroxy-1-methylethyl)cyclopentyl]amino]-, 4-(3-chlorobenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-25-2 HCAPLUS
- CN Cyclopentanecarboxamide, 3-[(3-fluorotetrahydro-2H-pyran-4-yl)amino]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-,
 (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693246-26-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[[tetrahydro-3-(trifluoromethyl)-2H-pyran-4yl]amino]-, (18,3R)- (CA INDEX NAME)

RN 693246-27-4 HCAPLUS

CN Cyclopentanecarboxamide, 3-(cyclohexylamino)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-, (18,3R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 693246-28-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2-hydroxycyclohexyl)amino]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693246-29-6 HCAPLUS

CN Cyclopentanecarboxylic acid, 3-[[(1R,35)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-, methyl ester (CA INDEX NAME)

RN 693246-30-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1-methyl-4-piperidinyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\mathbb{M} = \mathbb{N} \times \mathbb{N} \times$$

RN 693246-31-0 HCAPLUS

CN Cyclopentanecarboxamide, 3-[(1-acetyl-4-piperidinyl)amino]-N-[[3,5-bis(trifluoromethyl)phenyl|methyl]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-21-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-23-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-ethyltetrahydro-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

RN 693247-25-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-2H-pyran-4-yl)amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcup_{F} \bigcup_{R} \bigcup_{P_{r-1}} \bigcup_{C_{F_1}} \bigcup_{$$

RN 693247-27-7 HCAPLUS

CN Pentitol, 1,5-anhydro-3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-4-C-(trifluoromethyl)-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcup_{\mathbb{H}} CF_3 \bigcup_{\mathbb{P}_{r-1}} \mathbb{H} \bigcup_{\mathbb{F}_3} CF_1$$

RN 693247-29-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3-propyl-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

RN 693247-31-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3,3-dimethyl-2H-pyran-4-yl)amino]-, (15,3R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 693247-33-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[tetrahydro-3-(trifluoromethyl)-2H-pyran-4-yl]amino]-, (18,38)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-35-7 HCAPLUS

CN 2H-Pyran-3-carboxylic acid, 4-[(1R,38)-3-[([[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]tetrahydro-, ethyl ester (CA INDEX NAME)

RN 693247-37-9 HCAPLUS

CN 2H-Pyran-3-carboxylic acid, 4-[([1R,35)-3-[[[]3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino[tetrahydro- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-39-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-3-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{\mathbb{R}^3} \bigcap_{\mathbb{P}_{r-1}} \bigcap_{\mathbb{F}_3} \mathbb{C}^{\mathbb{F}_3}$$

- RN 693247-42-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[(tetrahydro-2H-thiopyran-4-yl)amino]-, (15,3R)- (CA INDEX NAME)

$$\bigcup_{\mathbb{R}^3} \bigcup_{\mathbb{R}^3 \to \mathbb{R}^3} \mathbb{R}^{\mathbb{C}^{\mathbb{R}^3}}$$

RN 693247-44-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-((tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-, (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-46-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-oxepanylamino)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-48-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3-furanyl)amino]-, (15,3R)- (CA INDEX NAME)

- RN 693247-50-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-[[(tetrahydro-3-furanyl)methyl]amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C \underbrace{ \left(\begin{array}{c} 0 \\ 1-Pr \end{array} \right)^3}_{CF_3}$$

- RN 693247-52-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3-thienyl)amino]-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-54-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-oxetanylamino)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-56-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclohexylamino)-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

RN 693247-58-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2-methylcyclohexyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-60-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cycloheptylamino)-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-62-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclopentylamino)-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

- RN 693247-64-2 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(cyclobutylamino)-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-66-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(cyclobutylmethyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-69-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2,3-dihydro-1H-inden-2-yl)amino]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-71-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2,3-dihydro-lH-inden-1-yl)amino]-1-(1-methylethyl)-, (15,3R)- (CA INDEX NAME)

RN 693247-73-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3,4-dihydro-2H-1-benzopyran-4-yl)amino]-1-(1-methylethyl)-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-75-5 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1,2,3,4-tetrahydro-2-naphthalenyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-77-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2-methyl-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

$$\bigcup_{M_0} \bigcup_{P_{r-1}} \bigcup_{P_{r-1}} \bigcup_{C_{F_3}} C_{F_7}$$

RN 693247-79-9 HCAPLUS

CN

Hexonic acid, 2,6-anhydro-4-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-3,4,5-trideoxy-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-82-4 HCAPLUS
- CN Cyclopentanecarboxamide, N=[(3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2,2-dimethyl-1,3-dioxan-5-yl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 693247-84-6 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-piperidinylamino)-, (1S,3R)- (CA INDEX NAME)

RN 693247-86-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-ethyltetrahydro-2H-pyran-4-yl)amino]-1-(1-hydroxy-1-methylethyl)-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-88-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-2H-pyran-4-yl)amino]-1-(1-hydroxy-1-methylethyl)-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-90-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-cyclopropyltetrahydro-2H-pyran-4-yl)amino]-1-(1-hydroxy-1-methylethyl)-, (15,3R)- (CA INDEX NAME)

RN 693247-92-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-pyran-3-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-94-8 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-[(tetrahydro-2H-thiopyran-4-yl)amino]-, (18,3R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 693247-96-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2,2-dimethyl-1,3-dioxan-5-yl)amino]-1-(1-hydroxy-1-methylethyl)-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693247-98-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[(3,5-bis(trifluoromethy1)pheny1|methy1]-1-(1-hydroxy-1-methy1ethy1)-3-[(tetrahydro-3-methy1-2H-thiopyran-4-y1)amino]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 693248-00-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[methyl(tetrahydro-3-methyl-2H-pyran-4-yl)amino]-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 693248-01-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-fluorotetrahydro-2H-pyran-4-yl)methylamino]-1-(1-methylethyl)-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 693273-47-1 HCAPLUS

CN Cyclohexanecarboxylic acid, 4-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl)methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-, ethyl ester (CA INDEX NAME)

RN 693273-48-2 HCAPLUS

CN Cyclobutanecarboxylic acid, 3-[[(1R,3S)-3-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

$$F_3 \subset \bigoplus_{i-p_2} \mathbb{S} = \bigoplus_{i-p_3} \mathbb{S} = \bigoplus_{i-p_4} \mathbb{S} = \mathbb{S}$$

RN 693273-53-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-3,5-dimethyl-2H-pyran-4-yl)amino]-, (1S,3R)-(CA INDEX NAME)

Absolute stereochemistry.

RN 693273-55-1 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(4methylcyclohexyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

- RN 693273-57-3 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(4-hydroxycyclohexyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 808144-59-4 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(phenylamino)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 808144-60-7 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(4-chlorophenyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 808144-61-8 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[4-(methylthio)phenyl]amino]-, (1S,3R)- (CA INDEX NAME)

- RN 808144-62-9 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[4-(methylsulfonyl)phenyl]amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

$$\mathbb{A}_{\mathbb{P}_{r-1}} = \mathbb{A}_{\mathbb{P}_{r-1}} = \mathbb{A}_{\mathbb{P}_{r-1}}$$

- RN 808144-63-0 HCAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]amino]-, (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 808144-64-1 HCAPLUS
- CN Cyclopentanecarboxamide, N-[{3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(4'-fluoro[1,1'-biphenyl]-4-yl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

RN 808144-65-2 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2-chlorophenyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 808144-66-3 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-chlorophenyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 808144-67-4 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(2methoxyphenyl)amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

RN 808144-68-5 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-methoxyphenyl)amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 808144-69-6 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(4-methoxyphenyl)amino]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 808144-70-9 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(2-pyridinylamino)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 808144-71-0 HCAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-pyridinylamino)-, (1S,3R)- (CA INDEX NAME)

$$\bigcap_{R}\bigcap_{Pr-1}\bigcap_{CF_3}^{CF}$$

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 2 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 150:563480 MARPAT Full-text

TITLE: Preparation of naphthalenylethyl-cyclopentylamine and

-cyclohexylamine derivatives as modulators of calcium sensing receptor (CaSR)

INVENTOR(S): Fensholdt, Jef; Havez, Sophie Elisabeth; Noerremark,

Bjarne

PATENT ASSIGNEE(S): LEO Pharma A/S, Den. SOURCE: PCT Int. Appl., 216pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2009065406 A2 20090528 WO 2008-DK410 20081120 WO 2009065406 A3 20090911 W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA PRIORITY APPLN. INFO.: US 2007-989856P 20071123

AB Title compds. I [ring X = (un)substituted cycloalkyl; A = (un)substituted heteroaryl, aryl or heterocycloalkylaryl, Rl = (un)substituted alkyl, alkenyl, alkynyl, hydroxyalkyl, haloalkyl, etc.; R2 and R3 independently = H, CN, halo, carboxy, C(O)NH2, etc.; R4 = H, halo, OH, carboxy, NH2, etc.; R5 independently = H, halo, OH, carboxy, NH2, etc.; R5 independently etc.l, and their pharmaceutically acceptable salts, solvates or in vivo hydrolyzable esters, are prepared and disclosed. Thus, e.g., reaction of 3-(4-cyanophenyl)cyclohexanone with (+)-[(R)-1-(naphthalen-1-y)]ethyl]amine to

US 2008-92553P 20080828

gave 4-[3-[1(R)-1-(naphthalen-1-yl)ethyl]amino]cyclohexyl]benzonitrile which was subsequently treated with 28% aqueous NaOH under refluxing overnight gave II. II exhibited IC50 value of 250 nM in vitro in CaSR functional whole cell assay. As potent modulators of CaSR, I should prove useful in the treatment of diseases related to kidneys or bones.

MSTR 1B

1940)-G50

<u>8</u> 8 − G 5 4

H29-G56-G57

G56 = phenylene Patent location:

claim 1

Note: or pharmaceutically acceptable salts, solvates, or

in vivo hydrolyzable esters

Note: additional derivatization also claimed

Note: substitution is restricted

L33 ANSWER 3 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 149:576275 MARPAT Full-text

TITLE: Preparation of indanylthiourea derivatives and analogs

as pesticides

INVENTOR(S): Koradin, Christopher; Kordes, Markus; Baumann, Ernst;

Vezouet, Ronan Le; Culbertson, Deborah L.

PATENT ASSIGNEE(S): BASF SE, Germany

SOURCE: PCT Int. Appl., 178pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	ο.	DATE					
WO	2008141980			A1 20081127					W										
	W:	ΑE,	AG,	AL,	AM,	AO,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,		
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,		
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,		
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,		
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,		
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	sv,	SY,	TJ,	TM,		
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,		
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,		
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,		
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,		
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM									

PRIORITY APPLN. INFO.:

US 2007-939931P 20070524

AB Title compds. I [X = 0, S, S(0), etc.; Y = bond or CH2; Rl = H, C(0)R7, or C(S)R7; R2 and R3 independently = H, CN, NO2, etc.; or R2 together with Rl may be bridging C=0 or C=5 group, etc.; R4 = H, CN, alkyl, etc.; each R5 independently = H, halo, OH, NO2, etc.; each R6 independently = H, OH, SH, NH2, etc.; R7 = H, (un) substituted alkyl, alkxy, etc.; n = 0 to 4], and their pharmaceutically acceptable salts, are prepared and disclosed as pesticides. Thus, e.g., II was prepared by addition of 2-aminoethanol with 5-Fluoro-2,3-dihydro-3-isothiocyanatobenzofuran. Select I were evaluated in cotton aphid mortality assays, e.g., II demonstrated at least 75% mortality at 300 ppm.

MSTR 1

L33 ANSWER 4 OF 26 MARPAT COPYRIGHT 2009 ACS on STN

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 149:79623 MARPAT Full-text

TITLE: Polycyclic acid compounds useful as CRTH2 antagonists

and antiallergic agents and their preparation and use

in the treatment of diseases

Terasaka, Tadashi; Zenkoh, Tatsuya; Hayashida, Hisashi; Matsuda, Hiroshi; Sato, Junji; Imamura,

Yoshimasa; Nagata, Hiroshi; Seki, Norio; Tenda,

Yoshiyuki; Tasaki, Mamoru; Takeda, Masahiro; Tabuchi,

Seiichiro; Yasuda, Minoru; Tsubaki, Kazunori

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan SOURCE: PCT Int. Appl., 265pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

INVENTOR(S):

KIND DATE PATENT NO. APPLICATION NO. DATE -----A1 20080619 WO 2007-JP74475 20071213 WO 2008072784 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM CA 2672601 A1 20080619 CA 2007-2672601 20071213 20090902 EP 2007-859872 20071213 EP 2094662 A1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR KR 2009096690 A 20090914 KR 2009-708871 20071213 US 2006-870014P 20061214 PRIORITY APPLN. INFO.: WO 2007-JP74475 20071213

AB The invention relates to compds. of formula I or a salt thereof, which is useful as a CRTH2 antagonist, especially as a medicament for disorder that participates eosinophil, for example, allergic disorder such as asthma, allergic rhinitis, allergic dermatitis, conjunctival inflammation, Hives, eosinophilic bronchitis, food allergy, inflammation of the nasal sinuses, multiple sclerosis, angiitis, or chronic obstructive pulmonary disease (COPD) and the like. Compds. of formula I wherein if dashed bond is single and double bond then ring A is (un)substituted pyridinone, (un)substituted pyridazinone, (un) substituted oxazolidinone, (un) substituted thiazolidinone, (un) substituted imidazolidinone, etc.; if dashed bond is absent then A indicates acyclic amide derivs.; Y1 and Y2 are independently C1-6 (hetero)alkylene, and C2-6 (hetero)alkenylene; E1 is H and (un)substituted phenyl; E2 is (un) substituted Ph and (un) substituted xanthenyl; E3 is (un) substituted (un) fused benzene ring; R4 is H, C1-6 alkyl and alkali methyl; n is 0 and 1; and their pharmaceutically acceptable salts and prodrugs thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their CRTH2 antagonisitic and antiallergic activities (no data).

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,

Page 203 of 249

WO 2008017840 A1 20080214 WO 2007-GB3017 20070808

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CH, CN, CO, CR, CU, CZ, DB, DK, DM, DO, DZ, EC, EE, EG, ES, ES, EL, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KE, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TT, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, TN, TN, TN, TT, UG, KE, NE, TD, TG, BM, CG, KZ, MD, RU, TJ, TM, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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PRIORITY APPLN. INFO.:

US 2006-836126P 20060808

OTHER SOURCE(S): CASREACT 148:262252

The invention relates to cyclopentanecarboxylic acid derivs. of formula I, and related compds., processes for the preparation thereof, pharmaceutical compns. containing the same, the use thereof optionally in combination with one or more other pharmaceutically active compds. as antibacterial agents for the therapy of infective diseases, and a method for the treatment of such diseases. The compds. of formula I are reducing selectively the pathogenicity of bacteria within the host, but without affecting the bacteria outside the host environment. Compds. of formula I wherein RI is (un) substituted (hetero)arylaminocarbonyl, (un)substituted aryl-lower alkylaminocarbonyl, (di)alkylaminocarbonyl, heterocyclylaminocarbonyl, (un)substituted arylamino, etc.; R2 and R5 are independently H, Me, OH, lower alkyloxy, heterocyclyloxy, (un) substituted aryloxy, etc.; R3 and R4 are independently H and lower alkyl; R3 and R4 taken together forms C3-6 alkylene; R6 is OH, lower alkyloxy, lower heterocyclyloxy and amino and derivs.; and their salts thereof, are claimed. The example compound II was prepared by amidation of (±)-camphoric acid anhydride with 3-(trifluoromethoxy)aniline. All the invention compds. were evaluated for their antibacterial activity. From the assay, it was determined that example compound II exhibited an IC50 value of 12 µM.

MSTR 1



G1 = 14

18 (0)-NH----G2

G2 = 86

₩SK_Pb

G4 = NH2 / heterocycle <containing zero or more N, zero or more O, zero or more S>

= 40

 $46 < G_{G15}^{G15}$

Patent location: claim 1 Note: and salts

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 6 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 147:117972 MARPAT Full-text

TITLE: Preparation of 3-aminocyclopentanecarboxamides as

modulators of chemokine receptors

INVENTOR(S): Xue, Chu-Biao

PATENT ASSIGNEE(S): Incyte Corporation, USA SOURCE: PCT Int. Appl., 85pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.											CATI		DATE							
	2007	0722	01			20070628 20071004								2006	1218					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,			
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,			
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,			
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,			
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	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,			
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		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,			
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,			
						ТJ,														
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										CA 2006-2634636 20061218										
EΡ	1971	576		A2 2001			0924		E	P 20	06-8	3178	7	2006	1218	KM, KN, MG, MK, PT, RO, TR, TT, HU, IE, BF, BJ, BW, GH, AZ, BY,				
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,			
		IS,	ΙT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,			
				MK,																
	2009													2006						
	2000					2007	0625		N.	և 20	06-2	0003	30	2006	1219					
	2000					2007														
	2007					2007								20061220						
	2008													2008						
	2008									X 20	08-6	765		2008	0526					
	2008													20080610						
KR	2008	0708	68	A		2008	0731		K	R 20	08-7	14972	2	2008	0620					

CN 101341123 A 20090107 CN 2006-80048234 20080620
PRIORITY APPLN. INFO.: US 2005-752320P 20051221
US 2005-752477P 20051221

WO 2006-IB3739 20061218

OTHER SOURCE(S): CASREACT 147:117972

AB Cyclopentanecarboxamides of formula I [W = (substituted) piperidine, piperazine; V, X, Y, Z = N, NO, (substituted) CH; L = alkylene, CO, CONH, SO2, etc.; R1 = alkyl, OH, acyl, etc.; R2 = H, OH, halo, alkyl, alkoxy, etc.; R3 = H, alkyl, etc.; R4 = alkyl, aryl, cycloalkyl, heteroaryl, etc.] are prepared as modulators of chemokine receptors. The compds. of the invention, and compns. thereof, are useful in the treatment of diseases related to chemokine receptor expression and/or activity. Thus, II was prepared, and had IC50 value of 19.8 nM against CCR2.

MSTR 1

G8 = CH2Ph G12 = 69

ну—— в 8

G14 = 100

1960)-GI2

Patent location: claim

Note: or pharmaceutically acceptable salts or prodrugs

Note: or N-oxides

Note: additional ring formation also claimed

L33 ANSWER 7 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 144:108363 MARPAT Full-text

TITLE: Preparation of tetrahydropyranyl- and

piperazinyl/piperidinyl/tetrahydropyridinylsubstituted 3-aminocyclopentanecarboxamides as antagonists of chemokine receptors CCR2 and CCR5

INVENTOR(S): Xue, Chu-Biao; Zheng, Changsheng; Feng, Hao; Xia,
Michael; Glenn, Joseph; Cao, Ganfeng; Metcalf, Brian

r

PATENT ASSIGNEE(S): Incyte Corporation, USA

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	TENT			KI		DATE					CATI			DATE				
WO	2006	0047	41	A:		2006 2006	0112				05-U			2005	0627			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
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		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
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						MC,									BF,			
														TG,				
							SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	
				RU,														
	2005			A		2006					05-2			2005				
	2005		13	A		2006					05-2			2005				
	2571			A		2006					05-2			2005				
	2571			A:		2006					05-2			2005				
	2006		133	A A		2006					05-1			2005				
EP	1763 R:		DE			2007					05-7			2005 GB,		TITT	TE	
	K:													SK,				
				MK,		LU,	PIC,	MT.	PL,	Р1,	NO,	SE,	SI,	SK,	II,	AL,	DA,	
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CN	1976	702		A		2007	0606		CI	N 20	05-8	0021	744	2005	0627			
JP	2008	5042	93	T		2008	0214		J!	P 20	07-5	1835	7	2005	0627			
JP	4116	670		B.	2	2008	0709											
	2008		98	T		2008			J!	P 20	07-5	1836	7	2005	0627			
	4116			B.		2008												
	2005			A		2008					05-1			2005				
	2005			A		2008					05-1			2005				
	2006			A		2007					06-D			2006				
	2006			A		2007					06-D		3	2006				
	2006			A		2008					06-1 06-1			2006				
	2006			A A		2007					06-1			2006				
	2006			A		2007					06-1			2006				
	2007			A		2007					06-7			2006				
	8561		55	В		2008			***	. 20	00 /	2,10	_	2000	122,			
	8561			В		2008			K	R 20	06-7	2746	4	2006	1227			
	2007		87	A		2007					07-4		-	2007				
	2007			A		2007					07-4			2007				
	2008			A		2008					07-3		2	2007				
	2008			A		2008					07-3			2007				
US	2009	0208	485	A	1	2009	0820		U	S 20	09-4	3204	0	2009	0429			
RITY	APF	LN.	INFO	. :					U	S 20	04-5	8348	2P	2004	0628			
											04-6			2004	1101			
									U	S 20	04-6	2437	4P	2004	1101			

JP 2007-518357 20050627 JP 2007-518367 20050627 US 2005-167329 20050627 WO 2005-US22793 20050627 WO 2005-US22909 20050627

OTHER SOURCE(S): CASREACT 144:108363

The present invention is directed to 3-aminocyclopentanecarboxamides (shown as I; variables defined below; e.g. N-[(1R,3S)-3-isopropy1-3-[[4-[3-(trifluoromethyl)phenyllpiperazin-1- yllcarbonyllcyclopentyll-3methoxytetrahydro-2H-pyran-4-amine (shown as II)) that are antagonists of chemokine receptors CCR2 and CCR5. The compds. of the invention, and compns. thereof, are useful in the treatment of diseases related to chemokine receptor expression and/or activity. Although the methods of preparation are not claimed, prepns. and/or characterization data for .apprx.30 examples of I are included. For example, II was prepared in 10 steps; earlier steps describe the preparation of 3-methoxytetrahydro-4H-pyran-4-one and (1R,3S)-3-[[4-[3-(trifluoromethyl)phenyl]piperazin-1- yl]carbonyl]cyclopentanamine bis(trifluoroacetate), which react in the presence of Et3N and sodium triacetoxyborohydride to give II (92 % for this step). For I: a dashed line indicates an optional bond; W is divalent piperazine, tetrahydropyridine or piperidine; V is N, NO or CR5; X is N, NO or CR2; Y is N, NO or CR3; Z is N, NO or CR4; wherein no more than one of V, X, Y and Z is NO; R1 is C1-6 alkyl, C1-6-haloalkyl, C1-6 hydroxyalkyl, -(C0-6 alkyl)-0-(C1-6 alkyl), -(C0-6 alkyl)-S-(C1-6 alkyl), -(C0-6 alkyl)-(C3-7 cycloalkyl)-(C0-6 alkyl), OH, OR10, SR10, COR11, CO2R10, CONR10R12, carbocyclyl, heterocyclyl, CN, NR10R12, NR10SO2R10, NR10COR10, NR10CO2R10, NR10CONR12, CR10R11CO2R10 or CR10R11OCOR10; R2, R3, R4, R5 and R6 = H, OH, halo, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy, C1-6 haloalkoxy, C1-6 thioalkoxy, NR10R12, NR10CO2R11, NR10CONR10R12, NR10SO2NR10R12, NR10-SO2-R11, heterocyclyl, carbocyclyl, carbocyclyloxy, heterocyclyloxy, CN, NO2, COR11, CONR10R12, CO2R10, NO2, SR10, SOR10, SO2R10; or SO2NR10R12; R7 is H or (un)substituted C1-6 alkyl; R8 is C1-3 alkoxy, C1-3 haloalkoxy, C3-6 cycloalkyloxy or OH; R8' is H; R9 and R9' = H, C1-6 alkyl, halo, C1-3 alkoxy, C1-3 haloalkoxy, C3-6 cycloalkyl, C3-6 cycloalkyloxy, OH, CO2R10, OCOR10; or R9 and R9' together with the C atom to which they are attached form a 3-7 membered spirocyclyl group; addnl. details are given in the claims.

MSTR 1

$$G8 = CH2Ph$$

 $G12 = 69$

µN,——G8

G14 = 100

1860)-612

Patent location: claim 1

Note: or pharmaceutically acceptable salts or prodrugs

Note: or N-oxides

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 8 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 144:128984 MARPAT Full-text

TITLE: Preparation of phthalazine derivatives as PARP

inhibitors

INVENTOR(S): Mevellec, Laurence Anne; Kennis, Ludo Edmond

Josephine; Mertens, Josephus Carolus; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca;

Wouters, Walter Boudewijn Leopold

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	ENT :	ΝΟ.		KIND DATE							CATI		DATE					
WO				A1 20060112					W	20	05-E	30						
	W:													BY,				
														ES,				
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	\mathbb{KP} ,	KR,	ΚZ	
														MW,				
														SD,				
					ΤJ,	TM,	TN,	TR,	ΤT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU	
			ZM,															
	RW:													GB,				
														TR,				
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							SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	KG	
			MD,															
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				A1 20060112 A1 20070411														
EP																		
	R:													GB,				
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	2006													2006				
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PRIORITY APPLN. INFO.:

EP 2004-76886 20040630

G24

= 7

WO 2005-EP53030 20050628 OTHER SOURCE(S): CASREACT 144:128984 Title compds. I [n = 0-3; Q = -CO-, -CR3-; R3 = halo, alkyl; when Q is -CR3-,

the dotted line represents a bond; X = N, CH; when X is CH, then Y is N or NH; Y = N, NH, CH, etc.; except when X is CH, then Y is N or NH; Ll = direct bond, bivalent radical selected from -alkanediyl-NH-, -NH-, -NH-alkanediyl-NH-; L2 = direct bond, bivalent radical selected from -alkanediyl-, -alkanediylcarbonyl, -alkanediyl- substituted with one substituent selected from hydroxy or arvl; R1 = H, nitro, halo, etc.; R2 = H, alkvl, arvlalkvl; O1 may be bridged, e.g., forming a bicyclic moiety, with an ethylene bridge; Z =H, hydroxy, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, reaction of 1(3H)-isobenzofuranone with 1-benzyl-4piperidinone followed by treating with hydrazine monohydrate afforded compound II. In PARP-1 (poly(ADP-ribose)polymerase-1) inhibition assays, the pIC50 value of compound II was 7.26. Compds. I are claimed useful as PARP inhibitors.

```
MSTR 1
 3926<del>-2</del>929
G1 = (0-3) 41
 #Ç-----GЗ
G2
       = 20
 28----G3
G3
     = alkyl <containing 1-6 C>
        (opt. substd. by Ph (opt. substd.))
G4
     = 2-340 5-318
G8
      = NH
G10
       = C(0)
       = alkylamino <containing 1-6 C>
        (substd. by Ph (opt. substd.))
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G26 = 18

,G12+G10

G29 = 22

294-3984

Patent location: claim 1

Note: and N-oxide forms

Note: substitution is restricted Note: also incorporates claim 12

Stereochemistry: and stereochemically isomeric forms

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 9 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 146:49762 MARPAT Full-text

TITLE: Anti-parakeratosis agents, skin pore-shrinking agents,

and rough skin-improving/preventing agents containing α -amino acid derivatives

INVENTOR(S): Kaneko, Maki; Iida, Toshiyuki; Inomata, Shinji;

Uenuma, Mikiko; Suetsugu, Masaru

PATENT ASSIGNEE(S): Shiseido Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 38pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 2006327972 A 20061207 JP 2005-151987 20050525
PRIORITY APPLN. INFO.: JP 2005-151987 20050525

The invention provides an agent for inhibiting parakeratosis, shrinking skin pores, and preventing/ameliorating rough skin, characterized by containing α -amino acid derivative R4(R3)NC(R1) (R2)COOH (R1 = H, Me; R2 = H, Me, Ch2OH; R3, R4 = H, C1-3 alkyl; allyl, carbobenzyloxy, acetyl, etc) or its salt. For example, a cosmetic lotion composition containing 1,3-butylene glycol 6, glycerin 4, oleyl alc. 0.1, polyoxyethylene sorbitan monolaurate 0.5,

polyoxyethylene lauryl alc. ester 0.5, ethanol 10, N-Me Me alanine 3, and water balance to 100 \$ was formulated.

```
MSTR 1
G3
     = 10
G4
      = 13
 19(0)-G5-G6
G5
      = (0-2) CH2
G6
      = cyclopentyl (opt. substd. by (1-9) G7) /
       Ph (opt. substd. by 1 or more G7)
      = NH2 / CF3
Patent location:
                          claim 1
Note:
                          and salts
                          substitution is restricted
Note:
L33 ANSWER 10 OF 26 MARPAT COPYRIGHT 2009 ACS on STN
                       144:69736 MARPAT Full-text
ACCESSION NUMBER:
TITLE:
                        Preparation of tetrahydropyranyl
                       cyclopentylcarboxamide modulators of chemokine
                       receptor activity
INVENTOR(S):
                       Yang, Lihu; Mills, Sander G.; Jiao, Richard
PATENT ASSIGNEE(S):
                      Merck & Co., Inc, USA
SOURCE:
                       PCT Int. Appl., 45 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
    PATENT NO. KIND DATE
                                        APPLICATION NO. DATE
     ______
    WO 2005120505 A2 20051222
WO 2005120505 A3 20060608
                                         WO 2005-US13754 20050422
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
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Page 212 of 249

LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,

NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM. ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2005251678 A1 20051222 AU 2005-251678 20050422 CA 2564499 CA 2005-2564499 20050422 A1 20051222 EP 1742915 EP 2005-784477 20050422 A2 20070117 20070530 CN 1972913 A CN 2005-80013054 20050422 Т 20071129 JP 2007534756 JP 2007-510819 A 20061016 IN 2006DN06022 20070831 IN 2006-DN6022 US 20080021061 A1 20080124 US 2006-587288 20061023 US 7557124 B2 20090707 PRIORITY APPLN. INFO.: US 2004-565380P 20040426 WO 2005-US13754 20050422

OTHER SOURCE(S): CASREACT 144:69736

Title compds. I [Y = 0, S, SO2, (un)substituted amino, etc.; Z = C or N; Rl = sulfonylalkyl, alkylamino, sulfonylamino, etc.; R2 = H, OH, halo, alkyl, etc.; R3 = H, (fluoro)alkyl, hydroxy, etc.; R4 = H, (fluoro)alkyl, Ph, etc.; R5 = alkyl, alkoxy, pyridyl, etc.; R6 = H, alkyl, Ph, etc.; R7 = H or (un)substituted alkyl, R8 = H, OH, F, etc., or R7R= cyclyl, R9 = H, OH, (un)substituted alkyl, alkyloxy, etc., or R8R9 = cyclyl; R10 = H, F, cycloalkyloxy, (un)substituted alkyloxy, etc., or R8R9 = cyclyl; R10 = H, F, cycloalkyloxy, (un)substituted alkyloxy, (fluoro)alkyl, or R8R10 = cyclyl; R15, R16 = independently H, OH, (un)substituted alkyl, etc.; n = 0-2] and their pharmaceutically acceptable salts were prepared and disclosed as modulators of chemokine receptor activity (no data). Thus, II was prepared by condensation of tetrahydro-4H-pyran-4-one with the corresponding amino cyclopentyl precursor (preparation given). These compds. are useful as modulators of the chemokine receptor for the prevention or treatment of certain inflammatory and immunoregulatory disorders, such as rheumatoid arthritis (no data).

MSTR 1

$$G7$$
 $G1$ $G12$ $G12$

$$G1 = 625$$

G7 = 2

G8----G19

G12 = 603

G19 = NH G20 = 564

5 8 4 - G 4 2

G55 = alkylene <containing 1-3 C>

Patent location: claim 1

Note: and pharmaceutically acceptable salts
Note: additional substitution also claimed
Stereochemistry: and diastereomers and enantionmers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 11 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 143:460134 MARPAT Full-text

TITLE: Preparation of 3,3-disubstituted tetrahydropyranyl

cyclopentyl amides as modulators of chemokine receptor INVENTOR(S): Yang, Lihu; Mills, Sander G.; Shankaran, Kothandaraman

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT			KIND DATE					A	PPLI	CATI	и ис	٥.	DATE					
	2050				20051110													
WO 2005	A:				WO 2005-US13752 20050422													
WO 2005105092			A.	A3 20070726														
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KP,	KR,	KZ,		
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,		
	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,		
	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,		
	ZM,	ZW																
RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,		

RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA AU 2005237500 AU 2005-237500 20050422 A1 20051110 CA 2564561 A1 20051110 CA 2005-2564561 20050422 EP 1755603 A2 20070228 EP 2005-741852 20050422 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU JP 2007534755 T 20071129 JP 2007-510818 20050422 CN 101103027 CN 2005-80013591 20050422 A 20080109 IN 2006DN06118 A 20070831 IN 2006-DN6118 20061019 US 20080021057 A1 20080124 US 2006-587448 20061024 US 7566726 B2 20090728 PRIORITY APPLN. INFO.: US 2004-566012P 20040428 WO 2005-US13752 20050422

OTHER SOURCE(S):

CASREACT 143:460134 Title compds. I [X = (CH2)n; Y = O, S, SO, etc.; Z = C or N; R1 = H, OH, CN, etc.; R2 = H, halo, OH, etc.; R3 = H, (un)substituted alkyl or -O-alkyl if Z = C and R3 = O or is absent if Z = N; R4 = H or (un)substituted alkvl; R5 = (un) substituted alkyl, -O-alkyl, -CO-alkyl, etc.; R6 = H, (un) substituted alkyl or -O-alkyl; R7 = H or (un)substituted alkyl; R8 = (un)substituted alkyl and -O-alkyl or R7 and R8 together are alkyl or alkyl-O-alkyl forming a 5-7 membered ring; R9 = H, (un)substituted alkyl and -O-alkyl or R8 and R9 together are alkyl or alkyl-O-alkyl forming a 3-6 membered ring; R10 = H, (un) substituted alkyl and -O-alkyl or R8 and R10 together are (un) substituted alkyl-O-alkyl, -O-alkyl-O- or alkyl and are forming ring; R15 H, (un) substituted -O-alkyl or alkyl; R16 = H, F or (un) substituted alkyl; R17 = (un) substituted alkyl, -O-alkyl or cycloalkyl; R18 = H, (un) substituted alkyl or -0-alkyl; R17 and R8 together may form bridge; n = 0-2] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of chemokine receptor. Thus, e.g., II was prepared by coupling of 3-hydroxy-3methyl-tetrahydropyran-4-one with III (preparation given). The receptor affinity of I was evaluated by measuring the inhibition of 125I-MCP-1 to the endogenous CCR-2 receptor on various cell types including monocytes or THP-1 cells (no data). I as modulator of chemokine receptor should prove useful in the treatment of rheumatoid arthritis and inflammatory disorders. Pharmaceutical compns. comprising I are disclosed.

MSTR 1

= 86

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= (0-2) CH2
G12 = CH2Ph (opt. substd.)
G34
      = NH
Patent location:
Note:
                           additional ring and oxo formation also claimed
Note:
                           and pharmaceutically acceptable salts
Stereochemistry:
                           or diastereomers
L33 ANSWER 12 OF 26 MARPAT COPYRIGHT 2009 ACS on STN
                        143:172854 MARPAT Full-text
ACCESSION NUMBER:
TITLE:
                         Alkylamino, arylamino, and sulfonamido cyclopentane
                        amide modulators of chemokine receptor activity
                        Goble, Stephen D.; Yang, Lihu; Zhou, Changyou;
INVENTOR(S):
                        Kothandaraman, Shankaran; Guiadeen, Deodialsingh;
                        Butora, Gabor; Pasternak, Alexander; Mills, Sander G.
PATENT ASSIGNEE(S):
                        Merck & Co., Inc., USA
SOURCE:
                        PCT Int. Appl., 111 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
     PATENT NO. KIND DATE APPLICATION NO. DATE
     WO 2005067502 A2 20050728 WO 2004-US43777 20041229 WO 2005067502 A3 20050915
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
                                         AU 2004-313486 20041229
     AU 2004313486 A1 20050728
                     A1 20050728 CA 2004-2551869 20041229
A2 20060920 EP 2004-815779 20041229
     CA 2551869
EP 1701724
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
     CN 1897941 A 20070117 CN 2004-80038562 20041229
                     T
                                         JP 2006-547521 20041229
     JP 2007519633
                           20070719
     IN 2006DN03272 A 20070420
US 20070117797 A1 20070524
                           20070420
                                          IN 2006-DN3272 20060607
                                          US 2006-585232 20060630
PRIORITY APPLN. INFO.:
                                           US 2004-533892P 20040102
                                           WO 2004-US43777 20041229
```

OTHER SOURCE(S): CASREACT 143:172854

AB Title compds. I [Z = N, C, where no more than two Z are N; Rl = OH, CN, (un)substituted alkyl/alkyl, Ph, etc.; when Z attached to R2 is N, R2 = absent or O; and when Z attached to R2 is C, R2 = H, (un)substituted alkyl, alkoxy; when Z attached to R3 is N, R3 = absent or O; and when Z attached to R3 is C, R3 = H, OH, halo, (un)substituted alkyl, etc.; when Z attached to R4 is N, R4 = absent or O; and when Z attached to R2 is C, R2 = H, (un)substituted alkyl, alkylcarbonyl, Ph, etc.; when Z attached to R6 is N, R4 = absent or O; and when Z attached to R6 is N, R4 = absent or O; and when Z attached to R6 is C, R6 = H,

(un) substituted alkyl, alkoxy; R7 = H, (un) substituted alkyl, Ph, heterocyclyl; R8 = (un) substituted alkyl, Ph, pyridyl, etc.; R10, R16 = independently (:0), H, Ph, (un) substituted alkyl; R15 = H, alkyl; or R2 and R15 join together to form a carbocycle or heterocycle; X = (CR2)n; n = 0-1; and their pharmaceutically acceptable salts and individual diastereomers] were prepared as chemokine receptor, particularly CCR2, modulators. For example, II was prepared in 3 steps starting from 3-trifluoromethyl-5, 7,8-tetrahydro-1,6-naphthyridine (preparation given). I bound to CCR2 receptor in a binding and chemotaxis assay with an IC50 of less than about 1 μM . The invention is directed to the pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which chemokine receptors are involved, such as inflammatory and immunoregulatory disorders, allergic diseases, atopic conditions, rheumatoid arthritis, etc. (no data).

MSTR 1

Patent location: Note: Note: Stereochemistry: claim 1
additional ring formation also claimed
and pharmaceutically acceptable salts
and individual diastereomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 13 OF 26 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 142:240424 MARPAT Full-text

TITLE: Preparation of (thiazolyl)cyclopentane amide modulators of chemokine receptor activity INVENTOR(S): Butora, Gabor; Yang, Lihu; Goble, Stephen D.

Merck & Co., Inc., USA PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE + English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PRI

	TENT :					DATE								DATE			
	2005																
WO	2005	0145	37	A	3	2005	0512										
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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		ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
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		SN,	TD,	TG													
ΑU	2004	2635	09	A	1	2005	0217		A	U 20	04 - 2	6350	9	2004	0806		
CA	2534	294		A	1	2005	0217		C.	A 20	04 - 2	5342	94	2004	0806		
EΡ	1654	256		A	2	2006	0510		E	P 20	04-7	8032	2	2004	0806		
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	1832																
	2007																
	2006																
	2006								U	S 20	06-5	6751	6	2006	0207		
US	7589	085		В	2	2009	0915										
RIT	Y APP	LN.	INFO	.:										2003			
									W	0 20	04-U	S254	67	2004	0806		

OTHER SOURCE(S): CASREACT 142:240424

Title compds. I [wherein Z = independently C or N; R1 = (alkoxy)alkyl, alkylthioalkyl, hydroxy, etc.; R2-R4, R6 = independently H, OH, alkyl, halo, etc.; R5 = (carbonyl)alkyl, CF3, halo, etc.; R7, R9 = independently H, Ph, alkyl, etc.; R8 = H, Ph, alkyl, etc.; R10 = (un)substituted tetrahydropyranyl-4-vlamino, azacvclohept-1-vl, azacvclooct-1-vl; and pharmaceutically acceptable salts or solvates thereof and individual diastereomers thereof] are prepd as chemokine receptor modulators (no data). For example, II was given in a multi-step synthesis starting from 2,6-dichloro-4trifluoromethylpyridine. The invention is directed to pharmaceutical compns.

comprising these compds. and the use of these compds. and compns. as chemokine receptor modulators in the prevention or treatment of the diseases in which chemokine receptors are involved, such as inflammatory and immunoregulatory disorders, and rheumatoid arthritis (no data).

MSTR 1

ES1 G52

Patent location: claim 1

Note: additional ring formation also claimed Note: and pharmaceutically acceptable salts

Stereochemistry: and individual diastereomers

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 14 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 141:260762 MARPAT Full-text

TITLE: Preparation of aminocyclopentyl fused heterotricyclic amide derivatives as modulators of chemokine receptor

activity

INVENTOR(S): Goble, Stephen D.; Pasternak, Alexander; Tang, Cheng; Zhou, Changyou; Yang, Lihu

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2004076411	A2 20040910	WO 2004-US5297	20040223
WO 2004076411	A3 20041223		
W: AE, AG,	AL, AM, AT, AU,	AZ, BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
CN, CO,	CR, CU, CZ, DE,	DK, DM, DZ, EC, EE, EG,	ES, FI, GB, GD,

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
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            BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
            MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
            GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2004215409
                  A1 20040910
                                       AU 2004-215409 20040223
    AU 2004215409
                    B2 20081120
    CA 2516705
                     A1 20040910
                                       CA 2004-2516705 20040223
    EP 1599206
                    A2 20051130
                                       EP 2004-713725 20040223
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
    JP 2006518757
                    T 20060817
                                        JP 2006-503800
                                                         20040223
    US 20070004714
                     A1 20070104
                                        US 2005-543794
                                                       20050811
                    B2 20090707
    US 7557120
PRIORITY APPLN. INFO.:
                                        US 2003-449547P 20030224
                                        WO 2004-US5297 20040223
```

The title compds. (I) [A = C, N; D, E = independently C, N, O, SO, or SO2, AB where by a fused carbocycle is formed if A, D and E are all C, where by a fused heterocycle is formed if at least one of A, D, or E is N, O, or S; $X = \{0, 1, 2, \dots, N\}$ O, N, S, SO2, C; R1 = H, C1-6 alkyl, C0-6 alkyl-O-C1-6 alkyl, C0-6 alkyl-S-C1-6 alkyl, C0-6 alkyl-C3-7 cycloalkyl-C0-6 alkyl, hydroxy, heterocycle, cyano, NH2, acylamino, sulfonylamino, acyl, CONH2, etc.; if D = C, then R2 = H, Ph, oxo, (un)substituted C1-3 alkyl or alkoxy; if D = N, then R2 = H, Ph, oxo, (un) substituted C1-3 alkyl or alkoxy; if D = O, SO, or SO2, then R2 is absent; if E = C, then R3 = H, HO, Cl, F, Br, Ph, oxo, (un)substituted C1-3 alkyl or alkoxy; if E = N, then R3 = H, Ph, oxo, or (un)substituted C1-3 alkyl or alkoxy; R4 = C1, F, Br, Ph, (un)substituted C1-3 alkyl or C1-3 alkoxy; R5 = C1-6 alkyl, C1-6 alkoxy, C1-6 alkylcarbonyl, C1-6 alkylthio, pyridyl, F, C1, Br, C4-6 cycloalkyl, C4-6 cycloalkoxy, Ph, etc.; R6 = H, HO, C1, F, Br, Ph, (un) substituted C1-3 alkyl or alkoxy, etc.; R7 = H, phenyl-, heterocyclyl-, C3-7 cycloalkyl-, acyl-, or sulfo-C0-6 alkyl, etc.; when X = 0, then R7 is absent; R8 = H, HO, C1-6 alkyl, hydroxy-C1-6 alkyl, C1-3 alkoxy, acyl, NH2, cyano, etc.; R9, R10 = H, HO, C1-6 alkyl or alkoxy, benzyl, Ph, etc.; m, n = 0-2] and pharmaceutically acceptable salts thereof and individual diastereomers thereof are prepared These compds. are useful as modulators of the chemokine receptor CCR-2 and could be useful in the prevention or treatment of certain inflammatory and immunoregulatory disorders and diseases, allergic diseases, atopic conditions including allergic rhinitis, dermatitis, conjunctivitis, and asthma, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis (no data). Thus, intermediate (II) was cyclocondensed with paraformaldehyde in the presence of p-MeC6H4SO3H in toluene under refluxing for 18 h with removal of water using a Dean-Stark trap to give the precursor (III; R = COCF3) which was treated with NaBH4 in ethanol at room temperature for 18 h to give, after HPLC purification and treatment with HCl/Et2O, III.xHCl (R = H).

MSTR 1A

 $G9 = 4-6 \ 2-31 \ 4-32$



Patent location:

Note: Note:

Note:

Stereochemistry:

claim 1

and pharmaceutically acceptable salts additional derivatization also claimed also incorporates broader disclosure

and individual diastereomers

MSTR 1B

$$G9 = 4-6 \ 2-31 \ 4-32$$



G12 = CH2Ph (opt. substd.) G19 = 193 $\frac{729}{193}$ G36

Patent location: claim 1

Note: and pharmaceutically acceptable salts
Note: additional derivatization also claimed
Note: also incorporates broader disclosure

Stereochemistry: and individual diastereomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 15 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 140:423677 MARPAT Full-text

TITLE:

Preparation of

3-(tetrahydropyranylamino)cyclopentanecarboxylic acid N-benzylamide derivatives and related compounds as modulators of chemokine receptor activity

INVENTOR(S): Butora, Gabor; Mills, Sander G.; Pasternak, Alexander; Shankaran, Kothandaraman; Yang, Lihu; Zhou, Changyou;

Goble, Stephen D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 261 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

	ENT				ND	DATE					CATI			DATE			
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WO	2004	0411	61	A:	3	2005	0324										
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		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
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		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR
		BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
CA	2502	174		A.	1	2004	0521		C	A 20	03-2	5021	74	2003	1024		
ΑU	2003	2867	01	A.	1	2004	0607		A	J 20	03-2	8670	1	2003	1024		
ΑU	2003	2867	01	B	2	2008	1218										
EP	1558	243		A.	2	2005	0803		E	20	03-7	7791	1	2003	1024		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE.	HU,	SK	
JP	2006	5140	03	т		2006	0427		.TI	20	04-5	5012	6	2003	1024		

US 20060116421 A1 20060601 US 2005-533326 20050502 US 7390803 B2 20080624

PRIORITY APPLN. INFO.: US 2002-422451P 20021030 WO 2003-US33972 20031024

The title compds. (I) [wherein: X = O, NR20, S, SO, SO2, CR21R22, NSO2R20, AR NCOR20, NCO2R20, CR21CO2R20, CR21CCOR20, CO, OC(Me)20 (where R20 = H, C1-6 alkyl, benzyl, Ph, C3-6 cycloalkyl, etc.; R21, R22 = H, HO, C1-6 alkyl, C1-6 alkoxy, benzyl, Ph, C3-6 cycloalkyl, etc.); R1 = C1-6 alkyl, C1-6 alkoxy-C0-6 alkyl, C1-6 alkyl-S(O)0-2-C0-6-alkyl, N-(un)substituted C1-6 alkylaminosulfonyl-C0-6alkyl, -(C0-6 alkyl)(C3-7 cycloalkyl)(C0-6 alkyl), HO, CO2R20, heterocyclyl, cyano, NR20R26, NR26SO2R20, NR26COR21, OCOR20, Ph (where R26 = H, C1-6 alkvl, benzyl, Ph, etc.); R2, R4, R6 = H, C1-6 alkvl, CF3, CF30, Cl, Br, Ph; R3 = H, HO, halo, C1-6 alkyl, C1-6 alkoxy, , NR20R21, NR20C02R21, NR20CONR20OR21, NR20SO2NR20R21, NR20SO2R21, heterocyclyl, cyano, CONR20R21, CO2R20, NO2, SR20, SOR20, SO2R20, SO2R20R21: R5 = C1-6 alkyl substituted with 1-6 F and optionally substituted with HO, C1-6 alkoxy or CO-C1-6 alkyl each substituted with 1-6 fluoro, C1-6 alkylthio, pyridyl, F, C1, Br, Ph; R7 = H, C1-6 alkyl, CF3; R8, R9, R10 = H, (un)substituted C1-6 alkyl; or R7 and R8 or R8 and R9 may be joined together to form a ring; R11 = H, C1-6 alkyl, CF3; R27, R28 = oxo, H, Ph, (un)substituted C1-6 alkyl; R29, R30, R31 = H, Me, HO, CF3, MeO, CF3O; or R29 and R9 are connected by a C1-3alkyl bridge; m, n = 0-2; the dashed line = a single or a double bond] and pharmaceutically acceptable salts thereof and individual diastereomers thereof are prepared These compds. are useful as modulators of the chemokine receptor CCR-2 for (a) treating, ameliorating or controlling or reducing the risk of an inflammatory or immunoregulatory disorder or disease or (b) treating, ameliorating or controlling rheumatoid arthritis (no data). Thus, reductive amination of N-[3,5-bis(trifluoromethyl)benzyl]-3-oxo-1- isopropylcyclopentane-1-carboxamide with 4-aminotetrahydro-4H-pyran hydrochloride using triacetoxyborohydride in the presence of diisopropylethylamine in CH2Cl2 at room temperature overnight gave 46% N-[3,5-bis(trifluoromethyl)benzyl]-3-(tetrahydro-4H-pyran-4-ylamino)oxo-1- isopropylcyclopentane-1-carboxamide (II).

MSTR 1

$$G9 = Pr-i$$

 $G27 = (0-2) 114$

G29 = (0-2) 116

#Ç_G24

G31 = NH G33 = C(0)

Patent location: claim 1

Note: and pharmaceutically acceptable salts Note: additional ring formation also claimed

Stereochemistry: and diastereomers

L33 ANSWER 16 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 141:424568 MARPAT Full-text

TITLE: Optically active maleimide derivatives and polymaleimides for use as chiral adsorbent in

chromatography

INVENTOR(S): Miyata, Takuya; Kawabata, Kouji; Kagawa, Takumi

PATENT ASSIGNEE(S): Tosoh Corporation, Japan Eur. Pat. Appl., 21 pp.

SOURCE: CODEN: EPXXDW

DOCUMENT TYPE: Patent. LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.	ATE	ENT	NO.		KII	1D	DATE			A	PPLI	CATI	ON NO	٥.	DATE				
E	P 1	1479	669		A:	L	2004	1124		E	P 20	04-1	1985		2004	0519			
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			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	HR
JI	P 2	2004	3460	11	A		2004	1209		J	P 20	03-1	4479	3	2003	0522			
US	\$ 2	2004	0235	937	A.	L	2004	1125		U	5 20	04-8	4728	9	2004	0518			
US	s í	7186	750		B	2	2007	0306											
U	\$ 2	2007	0131	616	A:	L	2007	0614		U	5 20	07-6	5337	3	2007	0116			
U:	s í	7381	742		B	2	2008	0603											
U:	\$ 2	2008	0230	482	A:	L	2008	0925		U	5 20	08-8	1753		2008	0421			
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										U	S 20	04-8	4728	9	2004	0518			
										U	S 20	07-6	5337	3	2007	0116			

AR An optically active maleimide derivative and its polymer are prepared Thus, the reaction of maleic anhydride with (1S,2S)-2-benzyloxycyclopentylamine in solvent in the presence of ZnCl2 gave N-((1S,2S)-2benzyloxycyclopentyl) maleimide (91%), which was purified prior to polymerization

MSTR 1



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G2 = 22 / 27 / 29 / cycloalkyl <containing 3-10 C> /
, 93-G4 G4-C(0)<sub>2</sub>98 G4-NH-2G(0) 386-G7
G4 = 24
296-G7
G6
   = (1-2) CH2
G7
    = Ph (opt. substd. by (1-4) G5)
    = NH
Patent location:
                        claim 1
Note:
                         also incorporates claim 5
REFERENCE COUNT:
                     7
                           THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
                            RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L33 ANSWER 17 OF 26 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
                      130:139656 MARPAT Full-text
TITLE:
                      Preparation of Dolastatin 15 derivatives as antitumor
                      agents
INVENTOR(S):
                      Janssen, Bernd; Barlozzari, Teresa; Haupt, Andreas;
                      Zierke, Thomas; Kling, Andreas
PATENT ASSIGNEE(S):
                      BASF Aktiengesellschaft, Germany; BASF Bioresearch
                      Corporation
SOURCE:
                      PCT Int. Appl., 98 pp.
                      CODEN: PIXXD2
DOCUMENT TYPE:
                      Patent
LANGUAGE:
                      English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
    PATENT NO. KIND DATE
                                APPLICATION NO. DATE
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    WO 9903879 A1 19990128 WO 1998-US13901 19980707
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
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            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
           NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
           UA, UG, US, UZ, VN, YU, ZW
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
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           CM, GA, GN, ML, MR, NE, SN, TD, TG
    US 6143721
                A 20001107 US 1997-896394 19970718
    CA 2296036
                   A1 19990128
                                      CA 1998-2296036 19980707
    CA 2296036
                   C 20070501
    AU 9884758
                   A
                         19990210
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    AU 750120
                   B2 20020711
               A1 20000412
    EP 991658
                                      EP 1998-935531 19980707
    EP 991658
                    B1 20051228
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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Page 225 of 249

IE, SI, LT, LV, FI, RO, CY

TR	200000132	T2	20000522	TR	2000-132	19980707
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	2001515010	T	20010918	JP	2000-503101	19980707
NZ	502296	A	20020201	NZ	1998-502296	19980707
TR	200103545	T2	20020621	TR	2001-3545	19980707
	2195462	C2	20021227		2000-103960	19980707
	133784	A	20050925		1998-133784	19980707
	314387	T	20060115		1998-935531	19980707
CN	1268636	C	20060809	CN	1998-807359	19980707
ES	2258819	Т3	20060901	ES	1998-935531	19980707
PL	197884	B1	20080530	PL	1998-338144	19980707
SK	286581	B6	20090107	SK	1999-1879	19980707
ZA	9806358	A	20000117	ZA	1998-6358	19980717
IN	1998MA01605	A	20050304	IN	1998-MA1605	19980717
TW	533217	В	20030521	TW	1998-87111729	19980718
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NO	2000000231	A	20000117	NO	2000-231	20000117
NO	326827	B1	20090223			
BG	104089	A	20001229	BG	2000-104089	20000117
BG	65212	B1	20070731			
US	6458765	B1	20021001		2000-618694	20000718
HK	1029125	A1	20070309	HK	2000-108461	20001228
US	20030153505	A1	20030814	US	2002-255118	20020925
US	7084110	B2	20060801			
US	20060270606	A1	20061130	US	2006-406512	20060418
JP	2009137967	A	20090625	JP	2008-315263	20081211
JP	2009137968	A	20090625	JP	2008-315269	20081211
PRIORITY	APPLN. INFO.:			US	1997-896394	19970718
				JP	2000-503101	19980707
				WO	1998-US13901	19980707
				US	2000-618694	20000718
				US	2002-255118	20020925
AB Pe	ptides A-B-D-E-	F-(G)	r-(K)s-L (I) [A =	(un)substitute	d proline

derivative or other (un)substituted \(\alpha \) amino acid; \(B = Val, Ile, allo-Ile, Nva, NHCR1bR2bCO \) (R1b = H, R2b = alkyl, alkenyl or R1b and R2b together are isopropylidene); D = N-alkylvalvl, N-alkyl-2-ethylglycyl, N-alkylisoleucyl, or other (un) substituted α -amino acid; E, F = (un) substituted aza-heterocyclylcarbonyl such as a prolyl residue or a 2- or 3-aminocyclopentanecarboxylic acid derivative; G, K = (un)substituted α -amino acid; L = (un)substituted amino, hydrazido, aminooxy, or oximato group; s and r = independently, 0 or 1], and acid salts thereof, were prepared The present invention also includes a method for treating cancer in a mammal, such as a human, comprising administering to the mammal an effective amount of a compound of formula I in a pharmaceutically acceptable composition Thus, dolastatin derivative II was prepared by coupling H-Val-MeVal-Pro-Pro-NHCH2Ph.HCl (MeVal = N-methylvaline) with N-methyl-piperidine-2-carboxylic acid in DMF using diethylphosphoryl cyanide (DEPCN) and Et3N, and the diastereomeric mixture was separated by flash chromatog. In a cytotoxicity assay containing HT-29 colon carcinoma cells, dolastatin derivative II (D-piperidine isomer) had an IC50 value of 6.8 \times 10-10 mol/L.

MSTR 1

TD 200000132

G12 = cyclopropyl (opt. substd. by 1 or more F)

G42 = Ph (opt. substd. by 1 or more G37)

G43 = 339-45 342-411

Derivative: or salts claim 1

Patent location:

Note: also incorporates broader disclosure

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 18 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 130:139648 MARPAT Full-text

TITLE: Preparation of bicyclic metabotropic glutamate

receptor ligands

INVENTOR(S): Kozikowski, Alan P.; Steensma, Darryl Hugh;

Tueckmantel, Werner; Araldi, Gian Luca PATENT ASSIGNEE(S): Georgetown University, USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 9903822 A1 19990128 WO 1998-US14909 19980717 W: AU, CA, JP

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

CA	22963	310		A1		1999	0128		(CA	199	8-22	29631	ι 0	19980	0717		
AU	9885	754		A		1999	0210		Z	ΑU	199	8-85	754		19980	0717		
AU	74389	9		B2	2	2002	0207											
EP	10000	15		A1		2000	0517		E	EΡ	199	8-93	36911	L	19980	0717		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	, G	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	FI															
US	62042	292		B1		2001	0320		Į	US	199	8-13	18042	2	19980	717		
JP	20015	1583	39	T		2001	0925		Ċ	JΡ	200	0-50	3053	3	19980	0717		
US	20010	018	129	A1		2001	0830		Ţ	US	200	1-76	5973	7	20010)125		
US	63765	32		B2	2	2002	0423											
US	20020	165	200	A1		2002	1107		Ţ	US	200	2-92	2388		20020	0306		
US	6610	/43		B2	2	2003	0826											
US	68252	211		B1		2004	1130		Ţ	US	200	3-64	14645	Ò	20030	0820		
PRIORIT	APPI	N. :	ENFO.	. :					Ţ	US	199	7-52	2972E	?	19970	718		
									Į	US	199	7-64	1304E	?	1997	1105		
									Į	US	199	8-11	18042	2	19980)717		
									V	OW	199	8-US	31490	9	19980	717		
									Ţ	US	200	1-76	973	7	20010	0125		
									Ţ	US	200	2-92	2388		20020	0306		

AB The present invention provides bicyclic metabotropic glutamate receptor ligands I [R1, R2, R3, R4, R7, R8, R9, and R10 = each independently H, CO2H, tetrazolyl, SO3H, PO3H2, B(OH)2, C1-6 alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, halo-C1-6 alkyl, HO-C1-6 alkyl, C1-6 alkanoyloxy, C1-6 alkoxycarbonyl, CN, halo, CONRARb, NRCRd, SRe, aryl, heteroaryl, aryl-C1-6 alkyl, diaryl-C1-6 alkyl, heteroaryl-C1-6 alkyl; , R5 = CO2H, tetrazolyl, C1-6 alkoxycarbonyl, SO3H, PO3H2, B(OH)2; R6 = H, C1-6 alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-6 alkyl, aryl, aryl-C1-6 alkyl, heteroaryl, heteroaryl-C1-6 alkyl, C1-6 alkoxycarbonyl, C1-6 alkanoyl; X = bond, O, S, SO, SO2, CRfRq, Se, PRx, NRx; Rx = H, C1-6 alkyl, C1-6 alkanoyl, aryl, aryl-C1-6 alkyl, , C1-6 alkoxycarbonyl, aryl-C1-6 alkoxycarbonyl; each Ra, Rb, Rc, Rd, Re, Rf, Rg = independently H, C1-6 alkyl, C3-6 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkanoyl, aryl, heteroaryl, CH2Ph, CH2CH2Ph, etc.; NRcRd may form heterocyclic ring; RfRg = 0, S], as well as compns. comprising such ligands, and methods for their use. Thus, acidic hydrolysis of allylserine derivative II, followed by N-protection, Swern oxidation and olefination with Me (triphenylphosphoranylidene)acetate gave 58% diene III (Cbz = CO2CH2Ph). Photolysis of III gave

aminobicyclo[2.1.1]hexanedicarboxylates IV (R = Me, R11 = Cbz) as a mixture of all 4 stereoisomers, from which V (R = Me, R11 = Cbz) could be isolated in 32% yield. Hydrogenolysis of IV (R = Me, R1 = Cbz) to the corresponding free amines IV (R = Me, R11 = H) allowed the separation and isolation of the stereoisomers, and acidic hydrolysis gave title compds. IV and V (R = R11 = H). Formulations containing the title compds are given, as is test data for interaction with metabotropic glutamate receptors.

MSTR 1

substitution is restricted

1916—Ph

 $\begin{array}{lll} \text{G9} & = & \text{NH2} \\ \text{G11} & = & \text{bond} \\ \text{G16} & = & \text{(1-2)} & \text{CH2} \\ \text{Derivative:} \end{array}$

Patent location:

Note:

MSTR 2

G1 = tetrazolyl / 20

$$\operatorname{Res}_{20}\operatorname{MeV}^{\mathrm{G2}}$$

1816—Ph

or pharmaceutically acceptable salts or prodrugs claim $\boldsymbol{1}$

or pharmaceutically acceptable salts

Patent location: claim 10

Note: substitution is restricted

REFERENCE COUNT: 1.0 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 19 OF 26 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:

130:95843 MARPAT Full-text Preparation of cyclopentylcarbonylamino acid as

TITLE: inhibitors of $\alpha 4\beta 1$ mediated cell adhesion

INVENTOR(S): Lobl, Thomas J.; Rishton, Gil; Teegarden, Bradley;

Polinsky, Alex; Yamagishi, Masafumi; Tanis, Steven P.;

Fisher, Jed F.; Thomas, Edward W.; Chrusciel, Robert

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan; Pharmacia & Upjohn

Company

SOURCE: PCT Int. Appl., 342 pp. CODEN: PIXXD2

DOCUMENT TYPE:

P

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

	PAT	TENT	NO.		KI	ND	DATE					CATI			DATE			
	WO	9858	902		A	1	1998	1230							1998	0623		
		W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	GW,	HU,	ID,	IL,	IS,	JP,	KE,	KG,
			KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,
			NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,
			UA,	UG,	US,	UZ,	VN,	YU,	ZW									
		RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
			FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
			CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG							
	ΑU	9881	633		A		1999	0104		A	U 19	98-8	1633		1998	0623		
										E	P 19	98-9	3152	1	1998	0623		
	ΕP	9916	19		В	1	2003	0910										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,															
															1998			
	US	6482	849		В	1									1998			
	ΑT	2494	21		T		2003	0915		A	T 19	98-9	3152	1	1998 1998	0623		
	US	2003	0130	349	A.	1	2003	0710		U	S 20	02-1	9313	7	2002	0712		
	US	6596	752		В	1	2003	0722										
PRIOF	RITY	APP	LN.	INFO	. :					U	S 19	97-5	0515	P	1997	0623		
															1998			
										W	0 19	98-U	S130	64	1998	0623		

AB Title compds. [I; n = 0, 1; R1 = H, CH3; R2 = CN, CO2H, CONH2, CONHOCH2Ph, NHCOOCH2Ph, etc.; R3 = H, CH3; X = CH, CO; R4 = H, alkyl; R5 = CO2H, CONH2, COOR, etc.; R = alkyl; R6 = aryl, heteroaryl, arylcarbonyl, aarylcarbonylaminoalkyl, etc.], a pharmaceutically acceptable salt, a stereoisomer thereof are prepared as inhibitors of $\alpha 4\beta 1$ mediated adhesion to either VCAM or CS-1 and which can be used for treating or preventing $\alpha 4\beta 1$ adhesion mediated conditions in human such as inflammatory diseases. Thus, (1S-cis) - N-[(3-carboxy-2,2,3-trimethylcyclopentyl)carbonyl]-O-(phenylmethyl)-L- tyrosine was prepared and assayed for inhibition of β 1-mediated cell adhesion in vitro.

MSTR 1

G2 = 50

BN-C(0)-0-CH2-Ph

G9 = Me G10 = C(O) G11 = NH G13 = (0-1) CH2 G18 = 233

2931-G22

G31 = phenylene (opt. substd.)

Derivative: or pharmaceutically acceptable salts

Patent location: claim 1

Note: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 20 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 129:81958 MARPAT Full-text

TITLE: Preparation of amino acid piperidine, pyrrolidine, and

hexahydro-1H-azepine derivatives for promoting release of growth hormone

INVENTOR(S): Chen, Meng H.; Lu, Zhijian; Nargund, Ravi; Patchett, Arthur A.; Tata, James R.; Wu, Mu Tsu; Yang, Lihu

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	٥.	DATE			
WO	9825	897		A.	1	1998	0618		W) 19	97-U	S227:	25	1997	1210		
	W:	AL,	AM,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,
		ID,	IL,	IS,	JP,	KG,	KR,	KZ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,
		MX,	NO,	NZ,	PL,	RO,	RU,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UA,	US,

GB 1997-2954

19970213

UZ, VN, YU
RW: CH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG
AU 9855998 A 19980703 AU 1998-55998 19971210
US 5965565 A 19991012 US 1997-988816 19971211
PRIORITY APPLN. INFO:: US 1996-322499 19961212

WO 1997-US22725 19971210

BC Compds. BCOCRIRIaNR2aCO(CR4aR4b)xE(CR4aR4b)yRAR5 [B = substituted piperidinyl, pyrrolidinyl, and hexahydro-1H-arepinyl; R1 = alkyl, aryl, arylakyl, heteroaryl, etc.; Rla, R2a = H, alkyl; R4a, R4b = H, alkyl, trifluoromethyl, Ph, substituted alkyl; E = cyclohexanediyl, piperidinediyl, bicyclo[2.2.2]octane-1, 4-diyl, cyclopentanediyl, pyrrolidinediyl; R4, R5 = H, (un)substituted alkyl; x, y = 0, 1, 2, 3] were prepared for promoting growth hormone in humans and animals. Thus, Boc-D-tryptophan underwent amidation reactions to afford I.HCl.

MSTR 1

$$G23 = 475-2 482-4$$

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G24 = 538
 59<del>8</del> 667
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G60 = (0-3) CH2 (opt. substd.)

G65 = bond G67 = Me

Derivative: and pharmaceutically acceptable salts

Patent location: claim 1

additional ring formation also claimed Note:

Stereochemistry: and individual diastereomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 21 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 129:15967 MARPAT Full-text

TITLE: Preparation of arylcycloalkanes as tachykinin receptor

antagonists. INVENTOR(S): Caldwell, Charles G.; Chen, Ping; Durette, Philippe L.; Finke, Paul; Hale, Jeffrey; Holson, Edward; Kopka,

Ihor; Maccoss, Malcolm; Meurer, Laura; Mills, Sander G.; Robichaud, Albert

PATENT ASSIGNEE(S): Merck and Co., Inc., USA SOURCE: U.S., 109 pp.

CODEN: USXXAM DOCUMENT TYPE: Pat.ent. LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE _____ US 5750549 A 19980512 US 1996-730277 19961015 PRIORITY APPLN. INFO.: US 1996-730277 19961015

AB Title compds. [I; R3 = H, alkoxy, phenylalkoxy, Ph, cyano, halo, amino, (substituted) alkyl, null; R6-R8 = H, alkoxy, halo, (substituted) alkyl, OH, cyano, CF3, NO2, heterocyclyl, etc.; R11-R13 = H, (substituted) alkyl, halo, cyano, CF3, NO2, OH, alkoxy, etc.; A = Ph, benzofuranyl, benzothiophenyl, benzothiazoyl, indolyl, imidazolyl, oxadiazolyl, pyridyl, pyrimidyl, quinolinyl, thiazolyl, thienyl, thiophenyl, dihydrobenzofuranyl; Q = H, alkyl; W = O, NH, alkylimino, NHCO, alkyliminocarbonyl; X = H, alkyl; Y = bond, (substituted) alkyl; Z = NR15, CONR15, SO2NR15, SO2, CO2R15, CH2OR15, null; R15 = H, (substituted) alkyl; n = 1-3; with provisos], were prepared Thus, Me 3(SR)-hydroxy-2(RS)-phenylcyclopentane-1(RS)-carboxylate (preparation given) was treated with 3.5-bis(trifluoromethyl)benzyl bromide and NaH in DMF to give Me 3(SR)-[3,5-bis(trifluoromethyl)phenylmethoxy]-2(RS)- phenylcyclopentane-1(RS)-carboxylate. I showed intrinsic tachykinin receptor antagonist activity in the range 0.05-10 µM.

MSTR 1

$$\begin{array}{lll} \text{G4} & = \text{NH} \\ \text{G6} & = \text{alkyl} < \text{containing } 1\text{--}6 \text{ C} > \\ \text{G9} & = 107 \end{array}$$

$$G26$$
 = Ph
 $G32$ = (0-2) CH2
 $G37$ = 241

2842=0

G42 = carbon chain <containing 1-6 C, saturated>

(opt. substd.)

Derivative: or pharmaceutically acceptable salts Patent location: claim 1 additional substitution also claimed Note:

Note. substitution is restricted

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 22 OF 26 MARPAT COPYRIGHT 2009 ACS on STN 127:17433 MARPAT Full-text ACCESSION NUMBER:

TITLE . Cyclopentyl tachykinin receptor antagonists

INVENTOR(S): Finke, Paul E.; Maccoss, Malcom; Meurer, Laura C.; Mills, Sander G.; Caldwell, Charles G.; Chen, Ping;

Durette, Philippe L.; Hale, Jeffery; Holson, Edward; Kopka, Ihor; Robichaud, Albert

Merck and Co., Inc., USA; Finke, Paul E.; Maccoss, PATENT ASSIGNEE(S):

Malcolm; Meurer, Laura C.; Mills, Sander G.; Caldwell,

Charles G.; Chen, Ping; Durette, Philippe L.; Hale, Jeffrey; et al.

WO 1996-US16489 19961015

SOURCE: PCT Int. Appl., 343 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PAT	ENT I	.00		KI	ND	DATE			A	PPLI	CATI	ON N	٥.	DATE			
									-								
WO	9714	671		A	1	1997	0424		W	0 19	96-U	S164	89	1996	1015		
	W:	AL,	AM,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,
			T 0	TD	***	TED	****		T T.								

IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,

MR, NE, SN, TD, TG CA 2234913 A1 19970424 CA 1996-2234913 19961015 AU 9710497 19970507 AU 1997-10497 19961015 A AU 722883 B2 20000810

EP 858444 A1 19980819 EP 1996-941315 19961015 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI JP 2002534955 20021015 JP 1997-515929 19961015 T PRIORITY APPLN. INFO.: US 1995-5558P 19951018 GB 1996-5160 19960312

AR The invention is directed to certain novel compds. I and their pharmaceutically acceptable salts [wherein R3 - H, OH, alkoxy, Ph, cyano, halo, (un)substituted NH2, heterocyclyl, etc.; R6, R7, R8 = H, alkoxy, halo, (un) substituted alkyl, OH, cyano, CF3, etc.; R11, R12, R13 = H, (un) substituted alkyl, halo, cyano, CF3, etc.; A = benzene or various heterocycles; Q = H, alkyl; W = O, NH, alkylimino, NHCO, alkyliminocarbonyl; X = H, alkyl; Y = bond, (un)substituted alkyl; Z = (un)substituted NH, CONH, NHCO, SO2NH, NHSO2, SO2, CO2H, etc.; n=1, 2, 3]. The invention is also concerned with pharmaceutical formulations comprising I as active ingredients, and use of I and their formulations in the treatment of certain disorders. I are tachykinin receptor antagonists (no data) and are useful in the treatment of inflammatory diseases, pain, migraine, asthma, and emesis. For instance, reductive alkylation of the appropriate amine with 2-methoxy-5-(1-

tetrazolyl)benzaldehyde, by treatment with AcOH and 3A sieves in MeOH followed by NaBH3CN, gave title compound II.

MSTR 1

$$G26$$
 = Ph
 $G32$ = (0-2) CH2
 $G37$ = 241

29(0)-G26

G38 = 251

2814=0

Derivative: or pharmaceutically acceptable salts

Patent location: claim 1

Note: additional substitution also claimed

Note: substitution is restricted

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 23 OF 26 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 127:51005 MARPAT Full-text

TITLE: Preparation of N-substituted cycloalkyl and

polycycloalkyl α -substituted Trp-Phe- and phenethylamine derivatives as anxiolytics and cholecystokinin activity-modifying agents

INVENTOR(S): Horwell, David C.; Pritchard, Martyn C.; Roberts, Edward; Richardson, Reginald S.; Aranda, Julian

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: U.S., 108 pp., Cont.-in-part of U.S. Ser. No. 958,196, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PAT	ENT I	NO.		KIN	1D	DATE			API	PLICATI	ON	NO.	DATE	
US	5631	281		A	_	1997	0520		US	1994-2	2358	14	1994)428
ΑU	9059	628		A		1991	0117		AU	1990-5	962	8	19900	0628
ΑU	6440	88		B2	2	1993	1202							
ZA	9005	057		A		1992	0226		ZA	1990-5	5057		1990	0628
EP	4799	10		A1	1	1992	0415		EP	1990-9	111	85	1990	0628
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	IT, LI,	LU	, NL,	SE	
JΡ	0450	6079		T		1992	1022		JP	1990-5	5101	26	19900	0628
JΡ	2972	331		B2	2	1999	1108							
CA	2060	652		С		2001	0821		CA	1990-2	2060	652	1990	0628
CA	2344	707		С		2002	0730		CA	1990-2	2344	707	1990	0628
US	5278	316		A		1994	0111		US	1990-6	298	09	1990	1219
FI	1061	97		B1	1	2000	1215		FI	1991-6	060		1991:	1220
NO	9105	122		A		1992	0227		NO	1991-5	122		1991:	1227
NO	3018	31		B1	1	1997	1215							
US	5580	896		A		1996	1203		US	1995-4	1471	42	19950	0522
US	5622	983		A		1997	0422		US	1995-4	1471	41	19950	0522
RITY	APP:	LN.	INFO.	:					US	1989-3	3743	27	19890	0629
									US	1989-4	1224	86	19893	1016
									US	1990-5	808	11	19900	0605
									US	1990-5	452	22	19900	0628
									US	1990-6	298	09	1990	1219
									US	1992-9	581	96	1992	1007
	US AU AU ZA EP JP CA CA US FI NO US US	US 5631 AU 9059 AU 6440 ZA 9005 EP 4799 M: JP 0450 JP 2972 CA 2060 CA 2344 US 5278 FI 1061 NO 9105 NO 3018 US 5528 US 5622	US 5631281 AU 9059628 AU 644088 AU 644088 AU 6479910 R: AT, JP 04506079 JP 2972331 CA 2060652 CA 2344707 US 5278316 FI 106197 NO 9105122 NO 301831 US 5588896 US 5622983	NS 5631281 AU 9059628 AU 644088 AU 644088 AU 6450507 EP 479910 R: AT, BE, JP 04506079 JP 2972331 CA 2060652 CA 2344707 CA 5078316 FI 106197 NO 9105122 NO 301831 US 5580896 US 5572983	US 5631281 A AU 9059628 A AU 9059628 A AU 644088 B: 24 9005057 A A: 27 905057 A A	AU 644088 B2 A 9005057 A EP 479910 A1 EP 04506079 T JP 2972331 B2 CA 2060652 C CA 2344707 C CUS 5278316 A FI 106197 B1 NO 9105122 A NO 301831 B1 US 5580896 A US 5522983 A	NO 9059628 A 1997 AU 9059628 A 1991 AU 644088 B2 1993 AZ 9005057 A 1992 EF 479910 A1 1992 R: AT, BE, CH, DE, DK, JP 04506079 T 1992 CA 2060652 C 2001 CA 2344707 C 2002 CS 5278316 A 1994 FI 106197 B1 2000 NO 9105122 A 1992 NO 301831 B1 1997 US 5580896 A 1996	NET STATE OF THE S	No. No.	US 5631281 A 19970520 US 5631281 A 19910317 AU AU 644088 B2 19931202 ZA 900507 A 19920226 ZA P 479910 AI 19920415 EP R: AT, BE, CH, DE, DK, ES, FR, GB, JP 04506079 T 19921022 JP 19721022 JP 1972331 B2 19991108 CA 2060652 C 20010821 CA CA 2344707 C 20020730 CA US 5278316 A 19940111 US FI 106197 B1 20001215 FI NO 9105122 A 19920227 NO NO 301831 B1 19971215 US 5890896 A 19961203 US US 5622983 A 19970422 US NITY APPLIN. INFO: US	US 5631281 A 19970520 US 1994-7 AU 9059628 A 19910117 AU 1990-5 AU 640808 B2 19931202 ZA 9005057 A 19920216 ZA 1990-5 EP 479910 T 19920415 EP 1990-6 R: AT, BE, CH, DE, DK, ES, FR, GB, TT, LT, JP 04506079 T 19921002 JP 1990-1 DE 2792331 B2 19991108 CA 2060652 C 20010821 CA 1990-7 US 5278316 A 19940111 US 1990-6 US 5278316 A 19940111 US 1990-6 US 5278316 A 19940111 US 1990-6 US 580896 A 19940227 NO 1991-5 NO 301831 B1 19971215 US 5622983 A 19970422 US 1995-6 US 5622983 A 19970422 US 1995-6 US 1988-6 US 1989-6 US 1990-6	US 5631281 A 19970520 US 1994-2358 AU 9059628 A 19910117 AU 1990-5962 AU 640808 B2 19931202 ZA 9005057 A 19920226 ZA 1990-5057 BY 479910 AI 19920415 EP 1990-9111 R: AT, BE, CH, DE, DK, ES, FR, GB, TT, LT, LU JP 04506079 T 19921022 JP 1990-5101 JP 2972331 B2 19991108 CA 2060652 C 20010821 CA 1990-2060 CA 2344707 C 20020730 CA 1990-2240 US 5278316 A 19940111 US 1990-6298 BY 106197 B1 20001215 FT 1991-6060 NO 9105122 A 19920227 NO 1991-5122 NO 301831 B1 19971215 US 5622983 A 19970422 US 1995-4471 NITY APPLN. INFO: US 1898-3743 US 1998-4224 US 1990-5452 US 1990-65452 US 1990-65452	No. No.	US 5631281 A 19970520 US 1994-235814 1994 AU 9059628 A 19910117 AU 1990-59628 1990 AU 644088 B2 19931202 ZA 9005057 A 1992026 ZA 1990-5057 1990 EP 479910 A1 19920415 EP 1990-911185 1990 A1 19920415 EP 1990-911185 1990 CA 2060652 C 2010821 CA 1990-206065 1990 CA 2044707 C 20020730 CA 1990-2244707 1990 US 5278316 A 19940111 US 1990-629809 1990 US 5278316 A 19940111 US 1990-629809 1990 NO 9105122 A 19920227 NO 1991-5122 1991 NO 9105122 A 1992027 NO 1991-5122 1991 US 5622983 A 19970422 US 1995-447142 1995 US 5622983 A 19970422 US 1995-447141 1995 US 1990-89801 1990 US 1990-545222 1990

Page 237 of 249

US 1990-530811 19900605

NZ 1990-234264 19900627 CA 1990-2060652 19900628 WO 1990-US3553 19900628 US 1994-235814 19940428

Novel unnatural dipeptoids I [R1 = C3-12 (poly)cycloalkyl containing 0-4 AB substituents each (un)branched C1-6 alkyl, halo, CN, OR, SR, CO2R, CF3, NR5R6, (CH2) nOR5; R = (un) branched C1-6 alkyl, R5, R6 = H, C1-6 alkyl, n = 0-6; A = (CH2) nCO, SO2, S(O), NHCO, (CH2) nO2C, SCO, O(CH2) nCO, CH: CHCO; R2 = (un)branched C1-6 alkvl, CH:CH2, C.tplbond,CH, CH2CH:CH2, CH2C.tplbond,CH, (CH2) nAr, (CH2) nOR, (CH2) nOAr, (CH2) nCO2R, (CH2) nNR5R6; R3, R4 = independently H, R2, (CH2)q-B-D; q = 0-3; B = bond, O2C(CH2)n, O(CH2)n, SO2NH(CH2)n, NHCO(CH2)n, CONH(CH2)n, NHCOCH:CH, CO2(CH2)n, CO(CH2)n, S(CH2)n, S(O)(CH2)n, SO2(CH2)n, CONHCR7:CR8, NHCOCR7:CR8, CONHCHR7CHR8, NHCOCHR7CHR8, CR7:CR8, CHR7CHR8; R7, R8 = independently H, R2; R7R8 = (CH2)m, m = 1-5; D = CO2R, CH2OR, CHR2OR, CH2SR, CHR2SR, CONR5R6, CN, NR5R6, OH, PhSO2NHCO, CF3CONHCO, CF3SO2NHCO, H2NSO2, H, acid replacement group such as tetrazole; R9 = H, (un)branched C1-6 alkvl, (CH2)nCO2R, (CH2)nOAr, (CH2)nAr, (CH2)nNR5R6; R10 = OH, NH2, Me, Cl; R11 = CN, CO2H, CF3; Ar = 2- or 3-thienyl, 2- or 3-furanyl, 2-, 3- or 4-pyridinyl, (un)substituted Ph containing H, halo, Me, OMe, CF3, NO2, OH, NH2, OCF3, NHCOCH2CH2CO2H, or CH2CH2CO2H groups; R12, R13 = H, or taken with R3 and R4 form a double bond are disclosed. I are α -substituted Trp-Phe derivs. useful as agents in the treatment of obesity, hypersecretion of gastric acid in the gut, gastrin-dependent tumors, colorectal tumors, or as antipsychotics. Further, compds. I are antianxiety agents, antiulcer agents, antidepressant agents, and are agents useful for preventing the withdrawal response produced by chronic treatment or use followed by chronic treatment followed by withdrawal from nicotine, diazepam, alc., cocaine, caffeine, or opioids. Also disclosed are pharmaceutical compns. and methods of treatment using the dipeptoids as well as processes for preparing them and novel intermediates useful in their preparation An addnl. feature of the invention is the use of the subject compds. to prepare pharmaceutical and diagnostic compns. Thus, methyltryptophan derivative II, prepared from tertbutoxycarbonyl-L-phenylalaninol, 2-adamantyloxycarbonyl- α -methyl-D-tryptophan, and monomethyl fumarate, displayed Ki = 0.00008 µM in a central cholecystokinin binding assay.

MSTR 1

G1 = 123

```
G5 = C(0)
     = Ph (opt. substd. by 1 or more G31)
G22
      = CF3 / NH2
    = (1-3) CH2 (opt. substd. by G21)
Derivative:
                           or pharmaceutically acceptable salts
Patent location:
                           claim 1
Note:
                            also incorporates broader disclosure
Note:
                            substitution is restricted
REFERENCE COUNT: 3
                               THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT
L33 ANSWER 24 OF 26 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 123:9461 MARPAT Full-text
TITLE:
                        Preparation of piperazinylcamphor-derivative oxytocin
                        antagonists
INVENTOR(S):
                        Bock, Mark G.; Hobbs, Doug W.
                      Merck and Co., Inc., USA
PATENT ASSIGNEE(S):
SOURCE:
                        PCT Int. Appl., 230 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:
     PATENT NO. KIND DATE APPLICATION NO. DATE
     WO 9502587 A1 19950126 WO 1994-US7769 19940711
         W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR,
             KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK,
             TJ. TT. UA. US. UZ
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     CA 2166974 A1 19950126 CA 1994-2166974 19940711
AU 9473292 A 19950213 AU 1994-73292 19940711
     AU 9473292 A 19950213 AU 1994-73292 19940711
AU 675730 B2 19970213
EP 708765 A1 19960501 EP 1994-923424 19940711
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
     JP 09500133 T 19970107 JP 1994-504653 19940711
US 5686454 A 19971111 US 1996-578640 19960116
                      A 19971111
                                           US 1993-93502 19930716
PRIORITY APPLN. INFO.:
                                           WO 1994-US7769 19940711
     The title compds. [I; a = single or double bond; R1, R2 = H, (un)substituted
AB
     alkyl; R9, R10 = H, OH, halogen, oximido, Me, CO2H, etc.; R11 = H, oxo,
```

AB The title compds. [I; a = single or double bond; RI, R2 = H, (un)substituted alkyl, halogen, alkoxy; R5, R6 = H, alkyl, phenylalkyl, oxo; R7, R8 = H, alkyl; R9, R10 = H, OH, halogen, oximido, Me, CO2H, etc.; R11 = H, oxo, (un)substituted aminocarbonyl, etc.; W = C, O; X = CH, N; Y = CO, sulfonyl, CONH; Z = (un)substituted alkyl; m, n = 0, 1; R9R10 = cyclic epoxide substituentl, useful as oxytocin antagonists in the treatment of preterm labor, dysmenorrhea and for the stoppage of labor preparatory to a cesarean delivery, etc., are prepared Thus, piperazine II was prepared and demonstrated 54% inhibition at 1000 nM of the binding of tritiated oxytocin to rat uterus-derived oxytocin receptors.

MSTR 1A

91-94-96-97-915

$$G10 = Me / 80$$

G22 = alkyl (opt. substd. by 1 or more G23)

G23 = indolyl
Patent location: claim 1

Note: substitution is restricted

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 25 OF 26 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 121:280369 MARPAT Full-text

TITLE: Bicyclooctane- and bicycloheptane-derivative gastrin

and/or cholecystokinin receptor antagonists

INVENTOR(S): Kalindjian, Sarkis Barret; Low, Caroline Minli Rachel; Pether, Michael John; Davies, Jonathan Michael Richar;

Dunstone, David John; McDonald, Iain Mair

PATENT ASSIGNEE(S): James Black Foundation Ltd., UK

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA:	ENT:	NO.		KI	ND	DATE			Al	PPLI	CATI	и ис	Э.	DATE			
										-								
	WO	9400	421		A.	1	1994	0106		W	O 19	93-GI	B130	1	1993	0618		
		W:	ΑT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CZ,	DE,	DK,	ES,	FI,	GB,	HU,	JP,
			KP,	KR,	KZ,	LK,	LU,	MG,	MN,	MW,	NL,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,
			SE,	SK,	UA,	US,	VN											
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG		
	GB	2268	739		A		1994	0119		G	В 19	92-1	3094		1992	0619		
	AU	9343	489		A		1994	0124		A	J 19	93-43	3489		1993	0618		
	EP	6550	53		A.	1	1995	0531		E	P 19	93-9	1340	2	1993	0618		
	EP	6550	53		B	1	1997	0903										
		R:	DE,	ES,	FR,	GB,	IT											
	US	5674	905		A		1997	1007		U	S 19	94-3	5132	0	1994	1219		
RIO	RIT	APP	LN.	INFO	. :					G!	B 19	92-1	3094		1992	0619		
										Gl	B 19	92-2	6549		1992	1221		
										W	0 19	93-G	B130	1	1993	0618		

AB The title compds. [I; A = (un)substituted fused naphtho, etc.; B = fused benzo, etc.; R1 = H, Me, halogen; (un)substituted CO2H, tetracolyl, etc.; R2 = R1, (un)substituted carbonyl derivative; R3, R4 = H, halogen, NH2, NO2, CN, sulfamoyl, C1-3 alkyl, C1-3 alkoxy, (un)substituted CO2H, tetracolyl; W = CO, sulfonyl, sulfinyl; X = W, COCH2; Y = R90, R9NR10; R9 = H, C1-15 hydrocarbyl; R10 = H, C1-3 alkyl, C02Me, etc.; Z = OR11, (un)substituted QNH, etc.; R11 = H, C1-5 alkyl, (un)substituted Ph or PhCH2; Q = H, C1-5 hydrocarbyl, etc.], which are gastrin and/or cholecystokinin receptor antagonists, are prepared Thus, naphthalene was subjected to cycloaddn. with maleic anhydride, and the endo isomer intermediate amidated with 1-adamantylmethylamine, producing endo-(±)-cis-8-(1- adamantylmethylaminecarbonyl)-5,6-benzobicyclo[2.2.2]oct-2-ene-7- carboxylic acid (II). II demonstrated gastrin receptor pKB 5.9 and the cholecystokinin receptor pKI 5.6.

MSTR 1

PR.

G1 = 32



```
G11 = C(0)
G12 = 50
5913-G17
G13 = NH
G17 = 160
1651-G49
G46 = tetrazolyl
G48 = NH2
G49 = Ph
G51
    = (1-3) CH2
Derivative:
                        and pharmaceutically acceptable salts
Patent location:
                        claim 1
Note:
                         additional ring formation possible
Note:
                         substitution is restricted
REFERENCE COUNT:
                   5
                           THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                            RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L33 ANSWER 26 OF 26 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
                  119:226417 MARPAT Full-text
TITLE:
                      Preparation of condensed pyrimidinylacyl amino acids
                      as neoplasm inhibitors
INVENTOR(S):
                     Akimoto, Hiroshi; Ootsu, Koichiro; Itoh, Fumio
PATENT ASSIGNEE(S):
                    Takeda Chemical Industries, Ltd., Japan
SOURCE:
                      Eur. Pat. Appl., 51 pp.
                      CODEN: EPXXDW
DOCUMENT TYPE:
                      Patent
                      English
LANGHAGE ·
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
    PATENT NO. KIND DATE
                                     APPLICATION NO. DATE
    -----
                                      EP 1992-113523 19920807
    EP 530537 A1 19930310
EP 530537 B1 19970108
       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    US 5403843 A 19950404 US 1992-926170 19920807
                   T 19970115
    AT 147386
                                      AT 1992-113523 19920807
    CA 2075787
                   A1 19930213
                                      CA 1992-2075787 19920811
    JP 06049069 A 19940222
JP 3376479 B2 20030210
                         19940222
                                       JP 1992-214142 19920811
PRIORITY APPLN. INFO.:
                                       JP 1991-202042 19910812
                                       JP 1992-71513 19920327
                                       JP 1992-145851 19920605
OTHER SOURCE(S):
                      CASREACT 119:226417
   Title compds. [I; ring A = (substituted) (hydrogenated) 5-membered ring; B =
     (substituted) divalent 5- or 6-membered homo- or heterocyclic group; X =
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(substituted) divalent 5- or 6-membered homo- or heterocyclic group; X = amino, OH, SH; Y = H, halo, C-, N-, O-, or S-bonded group; Z = (substituted) (heteroatom-containing) divalent group having \leq 5 atoms; W = NRCO; R = H, (substituted) alkyl; R1 = (substituted) cyclic or chain-like group; or RR1 =

atoms to form a 3-13 membered ring CO2R2 = optionally esterified carboxyl group; p = 1-4; with provisos], were prepared Thus, $Na-[4-[2-(2,4-diamino-7H-pyrrolo[2,3-d]pyrimidin-5- yl)ethyl]benzoyl]-N\delta-phthaloyl-L-ornithine Me ester [prepared by condensation of the corresponding benzoic acid with N<math>\delta$ -phthaloyl-L-ornithine Me ester.HCl using di-Et cyanophosphate and Et3N in DMT] was saponified to give $Na-[4-[2-(2,4-diamino-7H-pyrrolo[2,3-d]pyrimidin-5-yl)ethyl]benzoyl]-N<math>\delta$ -hemiphthaloyl-L- ornithine. This inhibited proliferation of A549 cells with $1050=0.0012~\mu g/mL$.

MSTR 1A

$$G5 = cycloalkyl < containing 3-6 C> / NO2$$

 $G6 = 58$

G22 = carbon chain < containing 1-4 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd. by (1-2) G19) / 255

2944=0

G23 = 106

186-G24

G44 = carbon chain <containing up to 5 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.)

Derivative: or salts

Patent location: claim 1
Note: substitution is restricted

MSTR 1B

$$G1 = 25-2 23-1 25-10$$

$$G5 = \text{cycloalkyl} < \text{containing } 3-6 \text{ C} > / \text{NO2}$$
 $G6 = 58$

G8 = 240-10 237-12

7822-023-7922 2832-2833 2833-2832

G22 = carbon chain <containing 1-4 C,
 0 or more double bonds, 0 or more triple bonds>
 (opt. substd. by (1-2) G19) / 255

2944=0

1 N 6 G 2 4

G44 = carbon chain <containing up to 5 C,
 0 or more double bonds, 0 or more triple bonds>
 (opt. substd.)

Derivative: or salts
Patent location: claim 1

Note: substitution is restricted

MSTR 2A

$$G5$$
 = cycloalkyl / NO2 $G6$ = 58

C.F.

 $G8 = 240-10 \ 237-12$

7922-0237922 2832-2833 2823-2832

G22 = carbon chain <containing</pre> 1-4 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd. by (1-2) G19) / 255

2954=0

$$G23 = 106$$

1NE G24

G44 = carbon chain <containing up to 5 C,

0 or more double bonds, 0 or more triple bonds>
(opt. substd.)

Derivative: or salt reactive derivatives

Patent location: claim 72

MSTR 2B

Derivative: Patent location:

Page 247 of 249

or salt reactive derivatives

claim 72

Search History

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                624734-27-6/BI OR 624734-28-7/BI OR 624734-29-8/BI OR 624734-30
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L9
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          7601 SEA SPE=ON ABB=ON PLU=ON ZHOU C?/AU
L14
L15
            22 SEA SPE=ON ABB=ON PLU=ON KOTHANDARAMAN S?/AU
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L17
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L18
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L19
          794 SEA SPE=ON ABB=ON PLU=ON MILLS S?/AU
        27313 SEA SPE=ON ABB=ON PLU=ON (L12 OR L13 OR L14 OR L15 OR L16
L20
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		OR L17 OR L18 OR L19)
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		131 SEA SSS FUL L7
L24		3 SEA SPE=ON ABB=ON PLU=ON L23/DCR
L25		3 SEA SPE=ON ABB=ON PLU=ON L20 AND L24
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L26		0 SEA SSS SAM L7
		0 SEA SSS FUL L7
		0 0211 000 102 2
	FILE	'MARPAT' ENTERED AT 14:57:58 ON 05 NOV 2009
		0 SEA SSS SAM L7
L29		25 SEA SSS FUL L7
		'HCAPLUS, WPIX' ENTERED AT 15:13:39 ON 05 NOV 2009
L30		6 DUP REM L21 L25 (3 DUPLICATES REMOVED)
	PTIP	'HCAPLUS' ENTERED AT 15:14:18 ON 05 NOV 2009
		1 SEA SPE=ON ABB=ON PLU=ON L11 NOT L21
201		1 021 012 01 122 01 120 01 211 101 221
	FILE	'WPIX' ENTERED AT 15:14:35 ON 05 NOV 2009
L32		0 SEA SPE=ON ABB=ON PLU=ON L24 NOT L25
		'HCAPLUS, MARPAT' ENTERED AT 15:15:06 ON 05 NOV 2009
L33		26 DUP REM L31 L32 L29 (0 DUPLICATES REMOVED)